

=&gt; d his nofile

FILE 'REGISTRY' ENTERED AT 11:55:23 ON 03 MAY 2007

L1 0 SEA ABB=ON PLU=ON 106292-12-5  
D SCNA  
L2 1 SEA ABB=ON PLU=ON 106392-12-5  
D SCNA  
E (C3H6O.C2H4O)X/MF  
L3 20 SEA ABB=ON PLU=ON "(C3H6O.C2H4O)X"/MF  
L4 41881 SEA ABB=ON PLU=ON BLOCK  
L5 8 SEA ABB=ON PLU=ON L3 AND L4  
E SORBITOL/CN  
L6 1 SEA ABB=ON PLU=ON SORBITOL/CN

FILE 'CAPLUS' ENTERED AT 12:01:17 ON 03 MAY 2007

L7 13210 SEA ABB=ON PLU=ON L5  
L8 6573 SEA ABB=ON PLU=ON POLYNUCLEOTIDES/OBI  
L9 73022 SEA ABB=ON PLU=ON NUCLEIC ACIDS/OBI  
L10 555831 SEA ABB=ON PLU=ON DNA/OBI  
L11 241228 SEA ABB=ON PLU=ON CATION?/OBI  
L12 173231 SEA ABB=ON PLU=ON SURFACTANT#/OBI  
L13 52685 SEA ABB=ON PLU=ON QUATERNARY AMMONIUM/OBI  
L14 19291 SEA ABB=ON PLU=ON (L11 OR L13) (L) L12  
L15 22 SEA ABB=ON PLU=ON L7 AND ((L8 OR L9 OR L10)) AND L14  
L16 179 SEA ABB=ON PLU=ON LYPHOLIZ?/OBI OR FREEZE/OBI (2W) DRY/OBI  
L17 3511 SEA ABB=ON PLU=ON L16 OR LYOPHILIZ?/OBI  
L18 1 SEA ABB=ON PLU=ON L17 AND L15  
L19 33649 SEA ABB=ON PLU=ON (LYOPHILIZ? OR FREEZE (2W) DRY?)/BI  
L20 8 SEA ABB=ON PLU=ON L19 AND L15  
L21 30106 SEA ABB=ON PLU=ON (FREEZE? (2W) (DRY? OR DRIED))/BI  
L22 8 SEA ABB=ON PLU=ON L21 AND L15  
D SCAN TI  
L23 6458 SEA ABB=ON PLU=ON POLYMERS/CT (L) (BLOCK/OBI OR DIBLOCK/OBI  
OR TRIBLOCK/OBI)  
L24 4 SEA ABB=ON PLU=ON L23 AND ((L8 OR L9 OR L10)) AND L14  
L25 2 SEA ABB=ON PLU=ON L24 AND L21  
L26 8 SEA ABB=ON PLU=ON L25 OR L22  
D SCAN TIL L25  
L27 111 SEA ABB=ON PLU=ON L7 AND ((L8 OR L9 OR L10)) AND L12  
L28 22 SEA ABB=ON PLU=ON L27 AND L21  
L29 14 SEA ABB=ON PLU=ON L28 NOT L26  
D SCAN TI  
L30 13 SEA ABB=ON PLU=ON L29 AND (63/SX,SC)  
L31 14 SEA ABB=ON PLU=ON L29 AND (THU/RL OR USES/RL)  
L32 13 SEA ABB=ON PLU=ON L30 AND L31  
L33 31 SEA ABB=ON PLU=ON GEALL A7/AU  
E GEALL A/AU  
L34 6 SEA ABB=ON PLU=ON L33 AND L7  
L35 3 SEA ABB=ON PLU=ON L33 AND L21  
L36 6 SEA ABB=ON PLU=ON L34 OR L35  
L37 3 SEA ABB=ON PLU=ON L12 AND L33  
L38 6 SEA ABB=ON PLU=ON L36 OR L37  
L39 4 SEA ABB=ON PLU=ON L38 NOT (L26 OR L32)  
D SCAN TI  
L40 21 SEA ABB=ON PLU=ON L26 OR L32

FILE 'MEDLINE' ENTERED AT 12:17:09 ON 03 MAY 2007

# Ja-Na Hines 10/725,009

```

E NUCLEIC ACIDS/CT
E E3+ALL
L41      2799 SEA ABB=ON PLU=ON (?BLOCK (2W) (COPOLYMER? OR POLYMER?))
E DNA/CT
E E3+ALL
L42      9165 SEA ABB=ON PLU=ON NUCLEIC ACIDS/CT
L43      207273 SEA ABB=ON PLU=ON DNA/CT
E NUCLEOTIDES/CT
E E3+ALL
L44      15663 SEA ABB=ON PLU=ON NUCLEOTIDES/CT
L45      124 SEA ABB=ON PLU=ON L41 AND ((L42 OR L43 OR L44))
E SURFACTANT/CT
E SURFACTANTS/CT
E E3+ALL
E E2+ALL
L46      73130 SEA ABB=ON PLU=ON SURFACE-ACTIVE AGENTS+NT/CT
L47      51 SEA ABB=ON PLU=ON L46 AND L45
E LYOPHOLIZ/CT
E E1+ALL
E E2+ALL
L48      13579 SEA ABB=ON PLU=ON FREEZE DRYING OR LYOPHILIZ?
L49      2 SEA ABB=ON PLU=ON L48 AND L47
D TRIAL
D TRIAL 2
D HIT
L50      12003 SEA ABB=ON PLU=ON FREEZ? (2W) (DRY? OR DRIED)
L51      90733 SEA ABB=ON PLU=ON L46 OR SURFACTANT?
L52      52 SEA ABB=ON PLU=ON L51 AND L45
L53      2 SEA ABB=ON PLU=ON L52 AND (L50 OR L48)
L54      2 SEA ABB=ON PLU=ON L49 OR L53
L55      11 SEA ABB=ON PLU=ON GEALL A7/AU
L56      1 SEA ABB=ON PLU=ON L55 AND (L41 OR L46 OR L48 OR L50)
D ALL
E POLOXAMER/CT
E E3+ALL
L57      549 SEA ABB=ON PLU=ON L5
L58      882 SEA ABB=ON PLU=ON L5 OR POLOXAMER
L59      14 SEA ABB=ON PLU=ON L58 AND ((L42 OR L43 OR L44))
L60      0 SEA ABB=ON PLU=ON L59 AND (L48 OR L50)
L61      1 SEA ABB=ON PLU=ON L55 AND L58

FILE 'BIOSIS' ENTERED AT 12:41:32 ON 03 MAY 2007
L62      1168 SEA ABB=ON PLU=ON L5

FILE 'REGISTRY' ENTERED AT 12:42:08 ON 03 MAY 2007
L63      1 SEA ABB=ON PLU=ON 106392-12-5
D SCAN
D IDE
E POLOXAMER/CN
L64      1 SEA ABB=ON PLU=ON POLOXAMER/CN
D SCAN
L65      1 SEA ABB=ON PLU=ON "POLOXAMER 101"/CN
D SCAN
L66      1 SEA ABB=ON PLU=ON "POLOXAMER 180"/CN
D SCAN
L67      6 SEA ABB=ON PLU=ON POLOXAMER
L68      4 SEA ABB=ON PLU=ON L67 NOT L5
D SCAN

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# Ja-Na Hines 10/725,009

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FILE 'BIOSIS' ENTERED AT 12:44:15 ON 03 MAY 2007
L69      1172 SEA ABB=ON PLU=ON L67 OR L5
L70      2033 SEA ABB=ON PLU=ON ?BLOCK (2W) (POLYMER OR COPOLYMER)
L71      3045 SEA ABB=ON PLU=ON L69 OR L70
L72      32766 SEA ABB=ON PLU=ON SURFACE ACTIVE AGENTS OR SURFACTANT#
L73      10048 SEA ABB=ON PLU=ON FREEZ? (2A) (DRY? OR DRIED)
L74      488 SEA ABB=ON PLU=ON L71 AND L72
L75      3 SEA ABB=ON PLU=ON L73 AND L74
L76      1382758 SEA ABB=ON PLU=ON (DNA OR NUCLEIC ACID# OR NUCLEOTIDE#)
L77      17 SEA ABB=ON PLU=ON L74 AND L76
L78      3475118 SEA ABB=ON PLU=ON ?CATION? OR QUATERNARY AMMONIUM?
L79      9 SEA ABB=ON PLU=ON L78 AND L77
L80      12 SEA ABB=ON PLU=ON L75 OR L79
L81      2 SEA ABB=ON PLU=ON L80 AND POLYCATION?/TI
2        26 SEA ABB=ON PLU=ON GEALL A?/AU
L83      0 SEA ABB=ON PLU=ON L82 AND (L71)
L84      0 SEA ABB=ON PLU=ON L82 AND L73
L85      0 SEA ABB=ON PLU=ON L82 AND L72
L86      17 SEA ABB=ON PLU=ON L82 AND L76
L87      5 SEA ABB=ON PLU=ON L86 AND (L78 OR L72 OR COPOLYMER? OR
        BLOCK?)
L88      0 SEA ABB=ON PLU=ON L86 AND (POLYMER#)
L89      14 SEA ABB=ON PLU=ON L71 AND L73
L90      5 SEA ABB=ON PLU=ON L89 AND (L72 OR L76)
L91      5 SEA ABB=ON PLU=ON L90 OR L75

```

```

FILE 'CAPLUS, MEDLINE, BIOSIS' ENTERED AT 12:52:02 ON 03 MAY 2007
L92      27 DUP REM L40 L54 L91 (1 DUPLICATE REMOVED)
        ANSWERS '1-21' FROM FILE CAPLUS
        ANSWERS '22-23' FROM FILE MEDLINE
        ANSWERS '24-27' FROM FILE BIOSIS
L93      9 DUP REM L39 L61 L87 (1 DUPLICATE REMOVED)
        ANSWERS '1-4' FROM FILE CAPLUS
        ANSWERS '5-9' FROM FILE BIOSIS

```

=> fil reg

```

FILE 'REGISTRY' ENTERED AT 12:53:15 ON 03 MAY 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

```

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

```

STRUCTURE FILE UPDATES:    2 MAY 2007  HIGHEST RN 934214-84-3
DICTIONARY FILE UPDATES:   2 MAY 2007  HIGHEST RN 934214-84-3

```

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

```
=> d que 15
L3      20 SEA FILE=REGISTRY ABB=ON  PLU=ON  "(C3H6O.C2H4O)X"/MF
L4      41881 SEA FILE=REGISTRY ABB=ON  PLU=ON  BLOCK
L5      8 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 AND L4
```

```
=> d 15 1-8
```

```
L5  ANSWER 1 OF 8  REGISTRY  COPYRIGHT 2007 ACS on STN
RN  869542-68-7  REGISTRY
ED  Entered STN:  08 Dec 2005
CN  Oxirane, methyl-, polymer with oxirane, block, graft {9CI} (CA
    INDEX NAME)
```

## OTHER NAMES:

```
CN  Ethylene oxide-propylene oxide block graft copolymer
MF  {C3 H6 O . C2 H4 O}x
CI  PMS, COM
PCT  Polyether, Polyether formed
SR  CA
LC  STN Files:  CA, CAPLUS, TOXCENTER, USPATFULL
```

```
CM  1
```

```
CRN  75-56-9
```

```
CMF  C3 H6 O
```



```
CM  2
```

```
CRN  75-21-8
```

```
CMF  C2 H4 O
```



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

```
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
```

```
L5  ANSWER 2 OF 8  REGISTRY  COPYRIGHT 2007 ACS on STN
RN  849116-14-9  REGISTRY
ED  Entered STN:  25 Apr 2005
CN  Oxirane, methyl-, polymer with oxirane, tetrablock {9CI} (CA
    INDEX NAME)
MF  {C3 H6 O . C2 H4 O}x
CI  PMS, COM
```

PCT Polyether, Polyether formed  
SR CA

CM 1

CRN 75-56-9  
CMF C3 H6 O



CM 2

CRN 75-21-8  
CMF C2 H4 O



L5 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 848732-85-4 REGISTRY  
ED Entered STN: 19 Apr 2005  
CN Oxetane, polymer with oxirane, triblock {9CI} (CA INDEX NAME)  
MF (C3 H6 O . C2 H4 O)x  
CI PMS, COM  
PCT Polyether, Polyether formed  
SR CA

CM 1

CRN 503-30-0  
CMF C3 H6 O



CM 2

CRN 75-21-8  
CMF C2 H4 O



L5 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 719273-33-3 REGISTRY  
 ED Entered STN: 30 Jul 2004  
 CN Oxirane, methyl-, polymer with oxirane, pentablock (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN Oxirane-oxypolypropylene pentablock copolymer  
 MF (C3 H6 O . C2 H4 O)x  
 CI PMS  
 PCT Polyether, Polyether formed  
 SR CA  
 LC STN Files: CA, CAPLUS

CM 1

CRN 75-56-9  
 CMF C3 H6 O



CM 2

CRN 75-21-8  
 CMF C2 H4 O



2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 697765-47-2 REGISTRY  
 ED Entered STN: 23 Jun 2004  
 CN Oxirane, 2-methyl-, polymer with oxirane, diblock (CA INDEX NAME)

## OTHER CA INDEX NAMES:

CN Oxirane, methyl-, polymer with oxirane, diblock (9CI)

## OTHER NAMES:

CN Ethylene oxide-propylene oxide diblock copolymer  
 CN Methyloxirane-oxirane diblock copolymer  
 CN Oxirane-methyloxirane diblock copolymer  
 DR 858036-44-9  
 MF (C3 H6 O . C2 H4 O)x  
 CI PMS, COM  
 PCT Polyether, Polyether formed  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 75-56-9

CMF C3 H6 O



CM 2

CRN 75-21-8

CMF C2 H4 O



99 REFERENCES IN FILE CA (1907 TO DATE)  
34 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
99 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2007 ACS on STN

RN 691397-13-4 REGISTRY

ED Entered SIN: 10 Jun 2004

CN Oxirane, 2-methyl-, polymer with oxirane, triblock (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Oxirane, methyl-, polymer with oxirane, triblock (9CI)

OTHER NAMES:

CN Acclaim 2220N

CN Acclaim 4220N

CN Acclaim Polyol PPO 2220N

CN Acclaim Polyol PPO 4220N

CN Adeka Pluronic F 68

CN Adeka Pluronic L 64

CN Adekanol L 61

CN Adekanol L 64

CN Antarox 17R4

CN Antarox 31R1

CN Antarox SC 138

CN Arlatone F 127G

CN Blaunon P 106

CN Blaunon P 304

CN Chemax BP 261

CN Chemex BP 261

CN CRL 1005

CN Epan 410

CN Epan P 45

CN Ethox L 122

CN Ethylene oxide-propylene oxide triblock copolymer

CN F 108

CN F 127  
 CN F 68  
 CN F 88  
 CN L 121  
 CN L 123  
 CN L 35  
 CN L 64  
 CN Lutrol F 87  
 CN Lutrol FC 127  
 CN Lutrol L 42  
 CN Lutrol L 61  
 CN Lutrol L 63  
 CN Lutrol L 72  
 CN Lutrol L 92  
 CN Meroxapol 108  
 CN Meroxapol 174  
 CN Meroxapol 252  
 CN Meroxapol 258  
 CN Meroxapol 311  
 CN Methyloxirane-oxirane triblock copolymer  
 CN Newpol PE 61  
 CN Nissan Plonon 104  
 CN Nissan Plonon 204  
 CN Nissan Plonon 208  
 CN Nissan Plonon 407  
 CN Novanik 600/20  
 CN Novanik 600/40  
 CN Novanik 600/50  
 CN Oxirane-methyloxirane triblock copolymer  
 CN Oxirane-oxypolypropylene triblock copolymer  
 CN Oxirane-propylene oxide triblock copolymer  
 CN PEO-PEO-PEO triblock copolymer  
 CN Propylene oxide-ethylene oxide triblock copolymer  
 CN Propylene oxide-oxirane triblock copolymer  
 ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for  
 DISPLAY  
 DR 846568-88-5, 846568-89-6, 59392-44-8  
 MF (C3 H6 O . C2 H4 O)x  
 CI PMS, COM  
 PCT Polyether, Polyether formed  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT, CHEMCATS, TOXCENTER, USPAT2, USPATFULL  
  
 CM 1  
  
 CRN 75-56-9  
 CMF C3 H6 O



CM 2  
  
 CRN 75-21-8  
 CMF C2 H4 O





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3025 REFERENCES IN FILE CA (1907 TO DATE)  
 117 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 3045 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 130584-06-4 REGISTRY  
 ED Entered STN: 23 Nov 1990  
 CN Oxetane, polymer with oxirane, block (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Oxirane, polymer with oxetane, block (9CI)  
 MF (C3 H6 O . C2 H4 O)x  
 CI PMS  
 PCT Polyether, Polyether formed  
 SR CA  
 LC STN Files: CA, CAPLUS

CM 1  
 CRN 503-30-0  
 CMF C3 H6 O



CM 2  
 CRN 75-21-8  
 CMF C2 H4 O



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 106392-12-5 REGISTRY  
 ED Entered STN: 31 Jan 1987  
 CN Oxirane, 2-methyl-, polymer with oxirane, block (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Oxirane, methyl-, polymer with oxirane, block (9CI)

# Ja-Na Hines 10/725,009

CN Gziranse, polymer with methyloxirane, block {9CI}  
 OTHER NAMES:  
 CN Adeka 25R1  
 CN Adeka 25R2  
 CN Adeka CM 381  
 CN Adeka L 61  
 CN Antarox 17R2  
 CN Antarox 25R2  
 CN Antarox B 25  
 CN Antarox F 108  
 CN Antarox F 68  
 CN Antarox F 88  
 CN Antarox F 88FL  
 CN Antarox L 61  
 CN Antarox L 64  
 CN Antarox L 72  
 CN Antarox P 104  
 CN Antarox P 84  
 CN Arco Polyol R 2633  
 CN Arcol E 351  
 CN B 053  
 CN BASF-L 101  
 CN Block polyethylene-polypropylene glycol  
 CN Block polyoxyethylene-polyoxypropylene  
 CN Breox BL 19-10  
 CN Caradol ED 56-07  
 CN Cirrasol ALN-WS  
 CN Conion AEP 1220  
 CN Crisvon Assistor SD 14  
 CN CRL 1029  
 CN CRL 1190  
 CN CRL 1605  
 CN CRL 8131  
 CN CRL 8142  
 CN D 500  
 CN D 500 (polyglycol)  
 CN Daltocel F 460  
 CN DC 100  
 CN Dehypon KB 3557  
 CN Detalan  
 CN DO 97  
 CN Dowfax 30C05  
 CN ED 56  
 CN Empilan P 7068  
 CN Emulgen PP 230  
 CN Emulsogen V 1816  
 CN EP 3028  
 CN Epan 450  
 CN Epan 485  
 CN Epan 680  
 CN Epan 710  
 CN Epan 740  
 CN Ethylene glycol-propylene glycol block copolymer  
 CN Ethylene oxide-nickel-propylene oxide-titanium block graft  
 copolymer  
 CN Ethylene oxide-propylene oxide block copolymer  
 CN Ethylene oxide-propylene oxide block copolymer dipropylene glycol  
 ether  
 CN Ethylene oxide-propylene oxide block copolymer ether with ethylene  
 glycol

# Ja-Na Hines 10/725,009

CN Ethylene oxide-propylene oxide block copolymer, ether with propylene glycol (2:1)

CN Ethylene oxide-propylene oxide block polymer

CN Ethylene oxide-propylene oxide copolymer, block

CN Methyloxirane-oxirane block copolymer

CN Oxirane-methyloxirane block copolymer

CN Oxirane-propylene oxide block copolymer

CN Oxyethylene-oxypropylene block copolymer

CN Poly(ethylene oxide)-poly(propylene oxide) block copolymer

CN Poly(oxyethylene)-poly(oxypropylene), block

CN Polyethylene glycol-polypropylene glycol block copolymer

CN Polyethylene oxide-polypropylene oxide block copolymer

CN Polyoxyethylene-polyoxypropylene block copolymer

CN Propylene oxide-ethylene oxide block copolymer

ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for DISPLAY

DR 912934-92-0, 874281-09-1, 11104-97-5, 162774-62-1, 163516-02-7, 124057-62-1, 121089-00-7, 134092-42-5, 96639-37-1, 96958-14-4, 99040-06-9, 106138-19-6, 113441-83-1, 115742-90-0, 108688-61-5, 108688-62-6, 37349-41-0, 70226-19-6, 72231-62-0, 77108-15-7, 80456-04-8, 144638-32-4, 83589-65-5, 86904-45-2, 106899-85-8, 107498-07-7, 108340-62-1, 178463-44-0, 188815-93-2, 194165-56-5, 197179-49-0, 200338-43-8, 200338-47-2, 211389-05-8, 238075-26-8, 351002-57-8, 355134-17-7, 406160-61-0, 441053-13-0, 441053-14-1

MF {C3 H6 O . C2 H4 O}x

CI PMS, COM

PCT Polyether, Polyether formed

SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, IMSDRUGNEWS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, PHAR, PIRA, PROMT, RTECS\*, TOXCENTER, USAN, USPAT2, USPATFULL

(\*File contains numerically searchable property data)

CM 1

CRN 75-56-9

CMF C3 H6 O



CM 2

CRN 75-21-8

CMF C2 H4 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10943 REFERENCES IN FILE CA (1907 TO DATE)

940 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

10991 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus medline biosis

FILE 'CAPLUS' ENTERED AT 12:53:37 ON 03 MAY 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'MEDLINE' ENTERED AT 12:53:37 ON 03 MAY 2007

FILE 'BIOSIS' ENTERED AT 12:53:37 ON 03 MAY 2007

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=> d que 192

L3	20	SEA FILE=REGISTRY ABB=ON	PLU=ON	"(C3H6O.C2H4O)X"/MF
L4	41881	SEA FILE=REGISTRY ABB=ON	PLU=ON	BLOCK
L5	8	SEA FILE=REGISTRY ABB=ON	PLU=ON	L3 AND L4
L7	13210	SEA FILE=CAPLUS ABB=ON	PLU=ON	L5
L8	6573	SEA FILE=CAPLUS ABB=ON	PLU=ON	POLYNUCLEOTIDES/OBI
L9	73022	SEA FILE=CAPLUS ABB=ON	PLU=ON	NUCLEIC ACIDS/OBI
L10	555831	SEA FILE=CAPLUS ABB=ON	PLU=ON	DNA/OBI
L11	241228	SEA FILE=CAPLUS ABB=ON	PLU=ON	CATION?/OBI
L12	173231	SEA FILE=CAPLUS ABB=ON	PLU=ON	SURFACTANT#/OBI
L13	52685	SEA FILE=CAPLUS ABB=ON	PLU=ON	QUATERNARY AMMONIUM/OBI
L14	19291	SEA FILE=CAPLUS ABB=ON	PLU=ON	(L11 OR L13) (L) L12
L15	22	SEA FILE=CAPLUS ABB=ON	PLU=ON	L7 AND ((L8 OR L9 OR L10)) AND L14
L21	30106	SEA FILE=CAPLUS ABB=ON	PLU=ON	(FREEZ? (2W) (DRY? OR DRIED))/B I
L22	8	SEA FILE=CAPLUS ABB=ON	PLU=ON	L21 AND L15
L23	6458	SEA FILE=CAPLUS ABB=ON	PLU=ON	POLYMERS/CT (L) (BLOCK/OBI OR DIBLOCK/OBI OR TRIBLOCK/OBI)
L24	4	SEA FILE=CAPLUS ABB=ON	PLU=ON	L23 AND ((L8 OR L9 OR L10)) AND L14
L25	2	SEA FILE=CAPLUS ABB=ON	PLU=ON	L24 AND L21
L26	8	SEA FILE=CAPLUS ABB=ON	PLU=ON	L25 OR L22
L27	111	SEA FILE=CAPLUS ABB=ON	PLU=ON	L7 AND ((L8 OR L9 OR L10)) AND L12
L28	22	SEA FILE=CAPLUS ABB=ON	PLU=ON	L27 AND L21
L29	14	SEA FILE=CAPLUS ABB=ON	PLU=ON	L28 NOT L26
L30	13	SEA FILE=CAPLUS ABB=ON	PLU=ON	L29 AND (63/SX,SC)
L31	14	SEA FILE=CAPLUS ABB=ON	PLU=ON	L29 AND (THU/RL OR USES/RL)
L32	13	SEA FILE=CAPLUS ABB=ON	PLU=ON	L30 AND L31
L40	21	SEA FILE=CAPLUS ABB=ON	PLU=ON	L26 OR L32
L41	2799	SEA FILE=MEDLINE ABB=ON	PLU=ON	(?BLOCK (2W) (COPOLYMER? OR POLYMER?))
L42	9165	SEA FILE=MEDLINE ABB=ON	PLU=ON	NUCLEIC ACIDS/CT
L43	207273	SEA FILE=MEDLINE ABB=ON	PLU=ON	DNA/CT
L44	15663	SEA FILE=MEDLINE ABB=ON	PLU=ON	NUCLEOTIDES/CT
L45	124	SEA FILE=MEDLINE ABB=ON	PLU=ON	L41 AND ((L42 OR L43 OR L44))
L46	73130	SEA FILE=MEDLINE ABB=ON	PLU=ON	SURFACE-ACTIVE AGENTS+NT/CT
L47	51	SEA FILE=MEDLINE ABB=ON	PLU=ON	L46 AND L45
L48	13579	SEA FILE=MEDLINE ABB=ON	PLU=ON	FREEZE DRYING OR LYOPHILIZ?
L49	2	SEA FILE=MEDLINE ABB=ON	PLU=ON	L48 AND L47

# Ja-Na Hines 10/725,009

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L50      12003 SEA FILE=MEDLINE ABB=ON PLU=ON FREEZ? (2W) (DRY? OR DRIED)
L51      90733 SEA FILE=MEDLINE ABB=ON PLU=ON L46 OR SURFACTANT?
L52      52 SEA FILE=MEDLINE ABB=ON PLU=ON L51 AND L45
L53      2 SEA FILE=MEDLINE ABB=ON PLU=ON L52 AND (L50 OR L48)
L54      2 SEA FILE=MEDLINE ABB=ON PLU=ON L49 OR L53
L67      6 SEA FILE=REGISTRY ABB=ON PLU=ON POLOXAMER
L69      1172 SEA FILE=BIOSIS ABB=ON PLU=ON L67 OR L5
L70      2033 SEA FILE=BIOSIS ABB=ON PLU=ON ?BLOCK (2W) (POLYMER OR
        COPOLYMER)
L71      3045 SEA FILE=BIOSIS ABB=ON PLU=ON L69 OR L70
L72      32766 SEA FILE=BIOSIS ABB=ON PLU=ON SURFACE ACTIVE AGENTS OR
        SURFACTANT#
L73      10048 SEA FILE=BIOSIS ABB=ON PLU=ON FREEZ? (2A) (DRY? OR DRIED)
L74      488 SEA FILE=BIOSIS ABB=ON PLU=ON L71 AND L72
L75      3 SEA FILE=BIOSIS ABB=ON PLU=ON L73 AND L74
L76      1382758 SEA FILE=BIOSIS ABB=ON PLU=ON (DNA OR NUCLEIC ACID# OR
        NUCLEOTIDE#)
L89      14 SEA FILE=BIOSIS ABB=ON PLU=ON L71 AND L73
L90      5 SEA FILE=BIOSIS ABB=ON PLU=ON L89 AND (L72 OR L76)
L91      5 SEA FILE=BIOSIS ABB=ON PLU=ON L90 OR L75
L92      27 DUP REM L40 L54 L91 (1 DUPLICATE REMOVED)

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=> d que 193

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L3        20 SEA FILE=REGISTRY ABB=ON PLU=ON "(C3H6O.C2H4O)X"/MF
L4        41881 SEA FILE=REGISTRY ABB=ON PLU=ON BLOCK
L5        8 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND L4
L7        13210 SEA FILE=CAPLUS ABB=ON PLU=ON L5
L8        6573 SEA FILE=CAPLUS ABB=ON PLU=ON POLYNUCLEOTIDES/OBI
L9        73022 SEA FILE=CAPLUS ABB=ON PLU=ON NUCLEIC ACIDS/OBI
L10       555831 SEA FILE=CAPLUS ABB=ON PLU=ON DNA/OBI
L11       241228 SEA FILE=CAPLUS ABB=ON PLU=ON CATION?/OBI
L12       173231 SEA FILE=CAPLUS ABB=ON PLU=ON SURFACTANT#/OBI
L13       52685 SEA FILE=CAPLUS ABB=ON PLU=ON QUATERNARY AMMONIUM/OBI
L14       19291 SEA FILE=CAPLUS ABB=ON PLU=ON (L11 OR L13) (L) L12
L15       22 SEA FILE=CAPLUS ABB=ON PLU=ON L7 AND ((L8 OR L9 OR L10)) AND
        L14
L21       30106 SEA FILE=CAPLUS ABB=ON PLU=ON (FREEZ? (2W) (DRY? OR DRIED))/B
        I
L22       8 SEA FILE=CAPLUS ABB=ON PLU=ON L21 AND L15
L23       6458 SEA FILE=CAPLUS ABB=ON PLU=ON POLYMERS/CT (L) (BLOCK/OBI OR
        DIBLOCK/OBI OR TRIBLOCK/OBI)
L24       4 SEA FILE=CAPLUS ABB=ON PLU=ON L23 AND ((L8 OR L9 OR L10))
        AND L14
L25       2 SEA FILE=CAPLUS ABB=ON PLU=ON L24 AND L21
L26       8 SEA FILE=CAPLUS ABB=ON PLU=ON L25 OR L22
L27       111 SEA FILE=CAPLUS ABB=ON PLU=ON L7 AND ((L8 OR L9 OR L10)) AND
        L12
L28       22 SEA FILE=CAPLUS ABB=ON PLU=ON L27 AND L21
L29       14 SEA FILE=CAPLUS ABB=ON PLU=ON L28 NOT L26
L30       13 SEA FILE=CAPLUS ABB=ON PLU=ON L29 AND (63/SX,SC)
L31       14 SEA FILE=CAPLUS ABB=ON PLU=ON L29 AND (THU/RL OR USES/RL)
L32       13 SEA FILE=CAPLUS ABB=ON PLU=ON L30 AND L31
L33       31 SEA FILE=CAPLUS ABB=ON PLU=ON GEALL A?/AU
L34       6 SEA FILE=CAPLUS ABB=ON PLU=ON L33 AND L7
L35       3 SEA FILE=CAPLUS ABB=ON PLU=ON L33 AND L21
L36       6 SEA FILE=CAPLUS ABB=ON PLU=ON L34 OR L35
L37       3 SEA FILE=CAPLUS ABB=ON PLU=ON L12 AND L33
L38       6 SEA FILE=CAPLUS ABB=ON PLU=ON L36 OR L37
L39       4 SEA FILE=CAPLUS ABB=ON PLU=ON L38 NOT (L26 OR L32)

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# Ja-Na Hines 10/725,009

L55 11 SEA FILE=MEDLINE ABB=ON PLU=ON GEALL A?/AU  
 L58 882 SEA FILE=MEDLINE ABB=ON PLU=ON L5 OR POLOXAMER  
 L61 1 SEA FILE=MEDLINE ABB=ON PLU=ON L55 AND L58  
 L72 32766 SEA FILE=BIOSIS ABB=ON PLU=ON SURFACE ACTIVE AGENTS OR  
 SURFACTANT#  
 L76 1382758 SEA FILE=BIOSIS ABB=ON PLU=ON (DNA OR NUCLEIC ACID# OR  
 NUCLEOTIDE#)  
 L78 3475118 SEA FILE=BIOSIS ABB=ON PLU=ON ?CATION? OR QUATERNARY  
 AMMONIUM?  
 L82 26 SEA FILE=BIOSIS ABB=ON PLU=ON GEALL A?/AU  
 L86 17 SEA FILE=BIOSIS ABB=ON PLU=ON L82 AND L76  
 L87 5 SEA FILE=BIOSIS ABB=ON PLU=ON L86 AND (L78 OR L72 OR  
 COPOLYMER? OR BLOCK?)  
 L93 9 DUP REM L39 L61 L87 (1 DUPLICATE REMOVED)

=> d .ca 192 1-21; d ibib ab ct 192 22-27; d ibib ab 193 1-9

L92 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2007:380247 CAPLUS Full-text  
 DOCUMENT NUMBER: 146:387103  
 TITLE: Polymeric nanoparticle for enhanced absorption of  
 biologically active agents  
 INVENTOR(S): Sonavane, Ganeshchandra Shivajirao; Gala, Hetal  
 Jayantilal; Devarajan, Padma Venkitachalam  
 India  
 PATENT ASSIGNEE(S):  
 SOURCE: PCT Int. Appl., 25pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007036946	A1	20070405	WO 2005-IN328	20050928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: WO 2005-IN328 20050928

ED Entered STN: 05 Apr 2007

AB The present invention relates to a novel pharmaceutical composition comprising polymeric nanoparticles with one or more biol. active agent/s for mucosal and oral administration. Said polymeric nanoparticles further comprise of an agent that enhances absorption of said biol. active agent/s. The compns. are formulated as powders, sprays, suspension, freeze dried powders for reconstitution, tablets, capsules, pellets, wafers, patches, films, rods, pessaries, suppositories, aerosols, bioadhesive gels, creams. Thus, alginate acid 70 mg was dissolved in 0.025 N sodium hydroxide 20 mL. Insulin 30 mg was dissolve in the dilute sodium hydroxide and added to above polymer solution under stirring. Nanoparticles were generated by controlled precipitation

using 0.025 N hydrochloric acid in the presence of surfactant Pluronic F 68 25 mg. Nanoparticles were separated by ultracentrifugation followed by washing of the sediment with distilled water. The sediment of nanoparticles containing drug was dispersed in water and surfactant and homogenized. The aqueous solution of absorption enhancer niacinamide 20 mg was mixed with homogenized nanoparticle suspension and mixture was freeze dried.

CC 63-6 (Pharmaceuticals)  
Section cross-reference(s): 1

IT Polysaccharides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(acidic; polymeric nanoparticle for enhanced absorption of biol. active agents)

IT Nucleic acids  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(analog; polymeric nanoparticle for enhanced absorption of biol. active agents)

IT Drug delivery systems  
(freeze-dried; polymeric nanoparticle for enhanced absorption of biol. active agents)

IT Drug bioavailability  
Surfactants  
Vaccines  
(polymeric nanoparticle for enhanced absorption of biol. active agents)

IT Oligonucleotides  
Peptides, biological studies  
Polyanhydrides  
Proteins  
Tocopherols  
Vitamins  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(polymeric nanoparticle for enhanced absorption of biol. active agents)

IT 98-92-0, Niacinamide  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(AE-1; polymeric nanoparticle for enhanced absorption of biol. active agents)

IT 9004-10-8, Insulin, biological studies  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(polymeric nanoparticle for enhanced absorption of biol. active agents)

IT 68-19-9D, Cyanocobalamin, derivative 98-92-0D, Niacinamide, derivative 9005-32-7, Protacid F 120 9011-16-9D, Maleic anhydride-methyl vinyl ether copolymer, derivative 9012-76-4, Chitosan 106392-12-5, Poloxamer 691397-13-4, Pluronic F68  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(polymeric nanoparticle for enhanced absorption of biol. active agents)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS ON STN  
ACCESSION NUMBER: 2006:1312265 CAPLUS Full-text  
DOCUMENT NUMBER: 146:68695  
TITLE: Methods and compositions for the treatment of ocular disorders  
INVENTOR(S): Dellamary, Luis A.; Tabak, Arek; Yee, Shiyin  
PATENT ASSIGNEE(S): Targegen, Inc., USA

# Ja-Na Hines 10/725,009

SOURCE: PCT Int. Appl., 69pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006133411	A1	20061214	WO 2006-US22480	20060607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2006292203 A1 20061228 US 2006-449219 20060607 PRIORITY APPLN. INFO.: US 2005-689111P P 20050608 US 2006-763537P P 20060130				

OTHER SOURCE(S): MARPAT 146:68695

ED Entered STN: 15 Dec 2006

AB The invention provides methods and compns. for the delivery of lipophilic drugs that are useful for the treatment of various ophthalmic diseases, disorders, and pathologies, including the treatment of age-related macular degeneration, diabetic retinopathy, diabetic macular edema, cancer, and glaucoma. An active compound was mixed with hydrogenated phosphatidylcholine and suspended in 5% dextrose. The composition was sonicated for two hours to reduce the particle size in the range 5-10  $\mu$ m and the final pH was adjusted to 5.5. This suspension was diluted with 5% dextrose to give a final drug concentration of 3 mg of active agent/mL.

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT Phosphatidylcholines, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hydrogenated; methods and compns. for the treatment of ocular disorders)

IT Allergy inhibitors

Analgesics

Anemia (disease)

Anesthetics

Anti-inflammatory agents

Antibiotics

Antiglaucoma agents

Antihistamines

Antimigraine agents

Antioxidants

Antitumor agents

Antiviral agents

Autoclaves

Bronchodilators

Cardiovascular agents

Cholinergic antagonists

Edema



Eye  
 Eye, disease  
     Freeze drying  
 Glaucoma (disease)  
 Leukotriene antagonists  
 Molecular weight  
 Neoplasm  
 Particle size distribution  
 Pharmacokinetics  
 Radical scavengers  
 Solubility  
 Solubilizers  
 Stabilizing agents  
 Sterilization and Disinfection  
 Surface area  
 Tuberculostatics  
 Wetting agents  
     (methods and compns. for the treatment of ocular disorders)

IT Agglutinins and Lectins  
 Cardiopolins  
 Fatty acids, biological studies  
 Glycerophospholipids  
 Peptides, biological studies  
 Phosphatidylcholines, biological studies  
 Phosphatidylethanolamines, biological studies  
 Phospholipids, biological studies  
     Polynucleotides  
 Polyoxalkylenes, biological studies  
 Proteins  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
     (methods and compns. for the treatment of ocular disorders)

IT Surfactants  
     (nonionic; methods and compns. for the treatment of ocular disorders)

IT Polyoxalkylenes, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
     (phenolic; methods and compns. for the treatment of ocular disorders)

IT Phenolic resins, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
     (polyoxalkylene-; methods and compns. for the treatment of ocular disorders)

IT Double stranded RNA  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
     (small interfering; methods and compns. for the treatment of ocular disorders)

IT 867330-27-6    867330-68-5    867330-96-9    867331-07-5    867331-64-4  
   867331-82-6    867334-05-2    916728-52-4    916728-55-7    916728-56-8  
   916728-57-9    916728-58-0  
 RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
     (methods and compns. for the treatment of ocular disorders)

IT 9000-92-4, Amylase    9002-89-5, Poly(vinyl alcohol)    9003-01-4D, derivs.  
   9003-39-8, Polyvinylpyrrolidone    9004-32-4    9004-54-0, Dextran,  
   biological studies    9004-62-0, Hydroxyethyl cellulose    9004-65-3,  
   Hydroxypropyl methyl cellulose    9004-67-5, Methyl cellulose    9005-25-8,  
   Starch, biological studies    9005-64-5    9005-65-6, Tween 80    18656-38-7,  
   DMPC    25087-26-7D, derivs.    25301-02-4, Tylaxapol    25322-68-3,

# Ja-Na Hines 10/725,009

Polyethylene glycol 106392-12-5, Poloxamer 867330-93-6  
 867330-95-8 867330-97-0 867333-71-9 867334-50-7 867334-61-0  
 867338-53-2 867338-55-4 910904-21-1 910905-97-4 910907-24-3  
 916728-53-5 916728-54-6

RL: THU (Therapeutic use); BIOL (Biological study); USES

{Uses}

(methods and compns. for the treatment of ocular disorders)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2005:612129 CAPLUS Full-text

DOCUMENT NUMBER: 143:139166

TITLE: Assembly of gas-filled microvesicle with active  
 component for contrast imaging

INVENTOR(S): Schneider, Michel; Bussat, Philippe; Yan, Feng;  
 Senente, Anne

PATENT ASSIGNEE(S): Bracco Research S. A., Switz.

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063306	A1	20050714	WO 2004-IB4233	20041221
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004308757	A1	20050714	AU 2004-308757	20041221
CA 2545362	A1	20050714	CA 2004-2545362	20041221
EP 1696965	A1	20060906	EP 2004-806412	20041221
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
CN 1897978	A	20070117	CN 2004-80038618	20041221
NO 2006003420	A	20060922	NO 2006-3420	20060724
US 2007081946	A1	20070412	US 2006-584382	20060921
PRIORITY APPLN. INFO.:			EP 2003-79014	A 20031222
			WO 2004-IB4233	W 20041221

ED Entered STN: 15 Jul 2005

AB Assembly comprising a gas-filled microvesicle and a structural entity which is capable to associate through an electrostatic interaction to the outer surface of said microvesicle (microvesicle associated component - MAC), thereby modifying the physico-chemical properties thereof. Said MAC comprises a targeting ligand, a diagnostic agent or any combination thereof. Optionally a bioactive agent can further be associated to the MAC. The assembly of the invention can be formed from gas-filled microbubbles or microballoons and a MAC having preferably nanometric dimensions, e.g. a micelle, and is used as an active component in diagnostically and/or therapeutically active formulations, in particular for enhancing the imaging in the field of ultrasound contrast

- imaging, including targeted ultrasound imaging, ultrasound-mediated drug delivery and other imaging techniques such as mol. resonance imaging (MRI) or nuclear imaging.
- IC ICM A61K049-22
- ICS A61K051-12; A61K047-48; A61K041-00
- CC 63-6 (Pharmaceuticals)
- Section cross-reference(s): 8, 9
- IT Antibodies and Immunoglobulins
- RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (fragments, targeting ligand; gas-filled microvesicle assembly for contrast imaging)
- IT Drug delivery systems
- Fluorescent indicators
- Freeze drying
- Test kits
- Zeta potential
- (gas-filled microvesicle assembly for contrast imaging)
- IT Fatty acids, biological studies
- Lipids, biological studies
- Phosphatidic acids
- Phosphatidylcholines, biological studies
- Phosphatidylethanolamines, biological studies
- Phosphatidylglycerols
- Phosphatidylserines
- Polymers, biological studies
- Proteins
- Quaternary ammonium compounds, biological studies
- Sphingomyelins
- RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
- (gas-filled microvesicle assembly for contrast imaging)
- IT Phospholipids, biological studies
- Polyoxyalkylenes, biological studies
- RL: DGN (Diagnostic use); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); BIOL (Biological study); PROC (Process); USES (Uses)
- (gas-filled microvesicle assembly for contrast imaging)
- IT Surfactants
- (polymeric; gas-filled microvesicle assembly for contrast imaging)
- IT Agglutinins and Lectins
- Antibodies and Immunoglobulins
- Carbohydrates, biological studies
- Glycoproteins
- Hormones, animal, biological studies
- Nucleosides, biological studies
- Nucleotides, biological studies
- Peptides, biological studies
- Polynucleotides
- Polysaccharides, biological studies
- Steroids, biological studies
- Vitamins
- RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (targeting ligand; gas-filled microvesicle assembly for contrast imaging)
- IT 81-25-4D, Cholic acid, salts 83-44-3D, Deoxycholic acid, salts
- 475-31-0D, Glycocholic acid, salts 25322-68-3D, derivs.
- RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
- (gas-filled microvesicle assembly for contrast imaging)
- IT 63-89-8, Dipalmitoylphosphatidylcholine 68-04-2, Sodium citrate

302-95-4, Sodium deoxycholate 555-44-2, Tripalmitin 816-94-4, DSPC  
 1309-38-2, Magnetite, biological studies 1397-89-3, Fungizone  
 7440-57-5, Gold, biological studies 14276-65-4, Gadolinium 153,  
 biological studies 17688-29-8, Dapc 25322-68-3, Peg 28462-56-8  
 71065-87-7 80755-87-9 118301-40-9 170931-04-1, Ds-pep  
 185463-23-4, Dppg 200880-42-8 216165-62-7 220609-41-6, DSTAP  
 chloride 384835-54-5 419566-52-2 691397-13-4, Pluronic F68  
 858069-13-3, Ethyl SPC 3 858095-54-2, DSPE-STE 020  
 RL: DGN (Diagnostic use); PEP (Physical, engineering or chemical process);  
 PRP (Properties); PYP (Physical process); BIOL (Biological study); PROC  
 (Process); USES (Uses)

(gas-filled microvesicle assembly for contrast imaging)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2005:1265165 CAPLUS Full-text

DOCUMENT NUMBER: 144:11658

TITLE: Method and formulation for transdermal delivery of  
 immunogens

INVENTOR(S): Maa, Yuh-Fun; Ameri, Mahmoud; Sellers, Scott

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005266011	A1	20051201	US 2005-112311	20050421
PRIORITY APPLN. INFO.:			US 2004-572861P	P 20040519

ED Entered STN: 02 Dec 2005

AB A method for formulating an immunol. active agent and an apparatus for its  
 delivery are described, the method comprising the steps of providing a bulk  
 immunol. active agent, subjecting the bulk immunol. active agent to  
 tangential-flow filtration to provide an immunol. active agent solution,  
 adding at least one excipient to the agent solution and spray-drying the agent  
 solution to form an immunol. active agent product. The apparatus comprises a  
 microprojection member that includes a plurality of microprojections having a  
 biocompatible coating disposed thereon that includes a spray-dried immunol.  
 active agent. In a preferred embodiment, the immunol. active agent comprises  
 an influenza vaccine, more preferably, a split-virion influenza vaccine.  
 Thus, formulations were prepared using a monovalent B/Victoria strain of  
 hemagglutinin, Formulation C comprising antigen and sucrose (1:4) and  
 Formulation D comprising antigen, trehalose and mannitol (1:2:2).  
 Formulations were spray-dried SD and freeze dried (FD) and then subjected to  
 bicinchoninic acid (BCA) protein anal. and SRID (single radio-immuno  
 diffusion) potency anal. The BCA assay of the SD and FD formulations  
 demonstrated that both methods of stabilization resulted in full recovery of  
 the hemagglutinin antigen. SRID anal. demonstrated that spray-drying provides  
 potency retention of approx. 70% for Formulation C and approx. 80% for  
 Formulation D. The results thus demonstrate that spray-drying is a viable  
 means for stabilizing immunol. active agents, while offering great economy and  
 efficiency with respect to lyophilization.

IC ICM A61K039-00

INCL 424184100

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 15

- IT Polymers, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (block; preparation of immunogen formulations for transdermal delivery by  
 microprojection apparatus)
- IT Toxins  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (cholera, B subunit; preparation of immunogen formulations for transdermal  
 delivery by microprojection apparatus)
- IT Polysaccharides, biological studies  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP  
 (Physical process); THU (Therapeutic use); BIOL (Biological  
 study); PROC (Process); USES (Uses)  
 (conjugates; preparation of immunogen formulations for transdermal delivery  
 by microprojection apparatus)
- IT Toxoids  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP  
 (Physical process); THU (Therapeutic use); BIOL (Biological  
 study); PROC (Process); USES (Uses)  
 (diphtheria, vaccine; preparation of immunogen formulations for transdermal  
 delivery by microprojection apparatus)
- IT Toxoids  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP  
 (Physical process); THU (Therapeutic use); BIOL (Biological  
 study); PROC (Process); USES (Uses)  
 (pertussis, vaccine; preparation of immunogen formulations for transdermal  
 delivery by microprojection apparatus)
- IT Animal virus  
 Bordetella pertussis  
 Clostridium tetani  
 Corynebacterium diphtheriae  
 Cytomegalovirus  
 Eubacteria  
 Hepatitis B virus  
 Hepatitis C virus  
 Human  
 Human herpesvirus 3  
 Human papillomavirus  
 Human papillomavirus 11  
 Human papillomavirus 16  
 Human papillomavirus 18  
 Human papillomavirus 6  
 Legionella pneumophila  
 Neisseria meningitidis  
 Pseudomonas aeruginosa  
 Streptococcus group A  
 Streptococcus pneumoniae  
 Surfactants  
 Treponema pallidum  
 Vaccines  
 Vibrio cholerae  
 (preparation of immunogen formulations for transdermal delivery by  
 microprojection apparatus)
- IT Antigens  
 Glycoconjugates  
 Glycoproteins  
 Hemagglutinins  
 Lipoproteins  
 Nucleic acids

- Oligosaccharides, biological studies  
 Proteins  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (preparation of immunogen formulations for transdermal delivery by microprojection apparatus)
- IT Carbohydrates, biological studies  
 Disaccharides  
 Interleukin 12  
 Interleukin 15  
 Interleukin 18  
 Interleukin 2  
 Monosaccharides  
 Polyoxalkylenes, biological studies  
 Polysaccharides, biological studies  
 Salts, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of immunogen formulations for transdermal delivery by microprojection apparatus)
- IT Carbohydrates, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (reducing sugars; preparation of immunogen formulations for transdermal delivery by microprojection apparatus)
- IT Interferons  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (γ; preparation of immunogen formulations for transdermal delivery by microprojection apparatus)
- IT 83461-56-7, MTP-PE  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (liposomes; preparation of immunogen formulations for transdermal delivery by microprojection apparatus)
- IT 56-40-6, Glycine, biological studies 57-50-1, Sucrose, biological studies 69-65-8, D-Mannitol 77-92-9, Citric acid, biological studies 87-69-4, Tartaric acid, biological studies 99-20-7, Trehalose 107-64-2, Dimethyldioctadecylammonium chloride 7487-88-9, Magnesium sulfate, biological studies 7632-05-5, Sodium phosphate 7778-18-9, Calcium sulfate 7784-30-7, Aluminum phosphate 9004-10-8, Insulin, biological studies 9004-34-6, Cellulose, biological studies 9005-25-8, Starch, biological studies 9012-72-0, Glucan 9041-22-9, β-Glucan 10103-46-5, Calcium phosphate 12619-70-4, Cyclodextrin 21645-51-2, Aluminum hydroxide, biological studies 25322-68-3 25702-74-3 40816-53-3 60355-78-4, Murameteide 66112-59-2, N-Acetylmuramyl-L-threonyl-D-isoglutamine 70280-03-4, GMDP 99011-02-6, Imiquimod 112668-45-8 141256-04-4, QS-21 143005-30-5, ImmTher 144875-48-9, S-28463 159940-37-1, Pleuran 213018-95-2, Gerbu vaccine adjuvant 497929-24-5 691397-13-4, CRL 1005 852155-92-1  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of immunogen formulations for transdermal delivery by microprojection apparatus)

L92 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1077903 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:373324

TITLE: Apparatus and method for transdermal delivery of

INVENTOR(S): influenza vaccine  
Maa, Yuh-Fun; Sellers, Scott; Matriano, James; Ramdas, Asha  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 35 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005220854	A1	20051006	US 2005-84631	20050318
AU 2005232541	A1	20051027	AU 2005-232541	20050318
CA 2562932	A1	20051027	CA 2005-2562932	20050318
WO 2005099751	A2	20051027	WO 2005-US9148	20050318
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, MR, NE, SN, TD, TG				
EP 1734993	A2	20061227	EP 2005-728255	20050318
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
PRIORITY APPLN. INFO.:		US 2004-559153P P 20040401 WO 2005-US9148 W 20050318		

ED Entered SIN: 07 Oct 2005

AB An apparatus and method for transdermally delivering an immunol. active agent comprising a delivery system having a microprojection member (or system) that includes a plurality of microprojections (or array thereof) that are adapted to pierce through the stratum corneum into the underlying epidermis layer, or epidermis and dermis layers, the microprojection member having a biocompatible coating disposed thereon that includes the immunol. active agent. Preferably, the biocompatible coating is formed from a vaccine coating formulation. Thus, a formulation contained hemagglutinin 5, trehalose 2.5, and mannitol 2.5%.

IC ICM A61K039-12

ICS A61K039-02; A61K009-70; A61M031-00

INCL 424449000; 424204100; 424234100; 604500000

CC 63-6 (Pharmaceuticals)

IT Proteins

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(E7; apparatus and method for transdermal delivery of influenza vaccine)

IT Proteins

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(M; apparatus and method for transdermal delivery of influenza vaccine)

IT Proteins

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(NF κ B regulatory signaling; apparatus and method for transdermal delivery of influenza vaccine)

- IT Proteins
  - RL: THU (Therapeutic use); BIOL (Biological study); USES
  - (Uses)
  - (OMP (outer membrane protein); apparatus and method for transdermal delivery of influenza vaccine)
- IT Alcohols, biological studies
  - RL: THU (Therapeutic use); BIOL (Biological study); USES
  - (Uses)
  - (alkoxylated; apparatus and method for transdermal delivery of influenza vaccine)
- IT Polyoxymethylenes, biological studies
  - RL: THU (Therapeutic use); BIOL (Biological study); USES
  - (Uses)
  - (alkyl group-terminated; apparatus and method for transdermal delivery of influenza vaccine)
- IT Quaternary ammonium compounds, biological studies
  - RL: THU (Therapeutic use); BIOL (Biological study); USES
  - (Uses)
  - (alkylbenzyltrimethyl, chlorides; apparatus and method for transdermal delivery of influenza vaccine)
- IT Animal virus
  - Anti-inflammatory agents
  - Bordetella pertussis
  - Clostridium tetani
  - Coating materials
  - Corynebacterium diphtheriae
  - Cosmids
  - Cytomegalovirus
  - Eubacteria
    - Freeze drying
  - Hepatitis B virus
  - Hepatitis C virus
  - Human
    - Human herpesvirus 3
    - Human papillomavirus
    - Human papillomavirus 11
    - Human papillomavirus 16
    - Human papillomavirus 18
    - Human papillomavirus 6
  - Legionella pneumophila
  - Neisseria meningitidis
  - Pseudomonas aeruginosa
  - Rubella virus
  - Stabilizing agents
  - Streptococcus group A
  - Streptococcus pneumoniae
    - Surfactants
  - Treponema pallidum
  - Vasoconstrictors
  - Vibrio cholerae
  - Viscosity
    - (apparatus and method for transdermal delivery of influenza vaccine)
- IT Albumins, biological studies
  - Amino acids, biological studies
  - Glycoproteins
  - Hemagglutinins
  - Interleukin 10
  - Interleukin 12
  - Interleukin 15



Interleukin 18  
 Interleukin 2  
 Interleukin 4  
 Lipoproteins  
   Nucleic acids  
 Oligodeoxyribonucleotides  
 Oligosaccharides, biological studies  
 Polymers, biological studies  
 Polyoxalkylenes, biological studies  
 Polysaccharides, biological studies  
 Proteins  
   RNA  
   mRNA  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (apparatus and method for transdermal delivery of influenza vaccine)  
 IT Proteins  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (capsid; apparatus and method for transdermal delivery of influenza vaccine)  
 IT Toxins  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (cholera; apparatus and method for transdermal delivery of influenza vaccine)  
 IT Polysaccharides, biological studies  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (conjugates; apparatus and method for transdermal delivery of influenza vaccine)  
 IT Toxoids  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (diphtheria; apparatus and method for transdermal delivery of influenza vaccine)  
 IT Antigens  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (hepatitis B surface, pre-S2 protein; apparatus and method for transdermal delivery of influenza vaccine)  
 IT Carbohydrates, biological studies  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (nonreducing; apparatus and method for transdermal delivery of influenza vaccine)  
 IT Carbohydrates, biological studies  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (reducing sugars; apparatus and method for transdermal delivery of influenza vaccine)  
 IT DNA  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)  
     (supercoiled plasmid; apparatus and method for transdermal delivery of influenza vaccine)  
 IT Toxoids  
   RL: THU (Therapeutic use); BIOL (Biological study); USES  
   (Uses)

- (tetanus; apparatus and method for transdermal delivery of influenza vaccine)
- IT Interferons  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(γ; apparatus and method for transdermal delivery of influenza vaccine)
- IT 51-43-4, Epinephrine 56-59-7, Felypressin 57-50-1, Sucrose, biological studies 59-42-7, Phenylephrine 60-00-4, biological studies 68-04-2, Trisodium citrate 69-65-8, Mannitol 77-92-9D, Citric acid, salts 84-22-0, Tetrahydrozoline 90-82-4, Pseudoephedrine 99-20-7 101-40-6, Propylhexedrine 102-45-4, Cyclopentamine 107-64-2, Dimethyldioctadecylammonium chloride 112-00-5, Dodecyltrimethyl ammonium chloride 123-03-5, CPC 123-82-0, Tuaminoheptane 125-03-1 147-85-3D, L-Proline, complex with zinc 151-21-3, Sodium dodecyl sulfate, biological studies 151-73-5 470-55-3, Stachyose 501-15-5, Deoxyepinephrine 512-69-6, Raffinose 526-36-3, Xylometazoline 543-82-8, Octodrine 597-12-6, Melezitose 835-31-4, Naphazoline 1082-57-1, Tramazoline 1337-30-0, Sorbitan laurate 1491-59-4, Oxymetazoline 1715-33-9 1997-15-5 2145-14-4 2375-03-3 3397-23-7, Ornipressin 5015-36-1 6000-74-4 7440-66-6D, Zinc, complex with L-proline 7568-93-6, Phenylethanolamine 7647-14-5, Sodium chloride (NaCl), biological studies 7784-30-7, Aluminum phosphate 9002-89-5, Poly(vinyl alcohol) 9002-92-0, Laureth 4 9003-39-8, Polyvinylpyrrolidone 9004-34-6D, Cellulose, derivs. 9004-58-4, Ethyl hydroxyethyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropyl methyl cellulose 9004-67-5, Methyl cellulose 9005-64-5, Tween 20 9005-65-6, Tween 80 9011-18-1 9032-42-2, Hydroxyethyl methyl cellulose 9041-22-9, β-Glucan 9074-78-6, α-Glucan 11000-17-2, Vasopressin 12441-09-7D, Sorbitan, derivs. 14838-15-4, Phenylpropanolamine 17692-22-7, Metizoline 21645-51-2, Aluminum hydroxide, biological studies 24243-97-8, Tymazoline 24991-23-9 25249-16-5, Poly(2-hydroxyethyl methacrylate) 25322-68-3, Polyethylene glycol 25513-46-6, Polyglutamic acid 25608-40-6, Polyaspartic acid 26062-48-6, Polyhistidine 26063-13-8, Polyaspartic acid 26854-81-9, Polyhistidine 30924-31-3, Cafaminol 37300-21-3, Pentosan polysulfate 37353-41-6 37571-84-9, Amidephrine 40507-78-6, Indanazoline 42794-76-3, Midodrine 60355-78-4, Murametide 66112-59-2, Termurtide 70280-03-4, GMDP 74812-63-8, Nordefrin 83461-56-7, MTP-PE 99011-02-6, Imiquimod 100179-39-3, C5a Peptidase 112668-45-8 141256-04-4, QS-21 143005-30-5, ImmTher 144875-48-9, S-28463 159940-37-1, Pleuran 691397-13-4, CRL 1005 852155-91-0 852155-92-1  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(apparatus and method for transdermal delivery of influenza vaccine)
- IT 90701-11-4  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(repeating unit, apparatus and method for transdermal delivery of influenza vaccine)
- IT 9005-80-5, Inulin  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(γ-inulin; apparatus and method for transdermal delivery of influenza vaccine)

## Ja-Na Hines 10/725,009

ACCESSION NUMBER: 2005:238432 CAPLUS Full-text  
 DOCUMENT NUMBER: 142:303641  
 TITLE: Compositions capable of facilitating penetration  
 across a biological barrier  
 INVENTOR(S): Ben-Sasson, Shmuel A.; Cohen, Einat  
 PATENT ASSIGNEE(S): Israel  
 SOURCE: U.S. Pat. Appl. Publ., 12 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005058702	A1	20050317	US 2003-664989	20030917
US 2005136103	A1	20050623	US 2004-942300	20040916
AU 2004317954	A1	20051013	AU 2004-317954	20040917
CA 2539043	A1	20051013	CA 2004-2539043	20040917
WO 2005094785	A2	20051013	WO 2004-IB4452	20040917
WO 2005094785	A3	20060323		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1670500	A2	20060621	EP 2004-821561	20040917
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
PRIORITY APPLN. INFO.:			US 2003-503615P	P 20030917
			US 2003-664989	A2 20030917
			US 2003-665184	A2 20030917
			WO 2004-IB4452	W 20040917

ED Entered STN: 18 Mar 2005

AB This invention relates to novel pharmaceutical compns. for delivery of biol. active mols., such as polypeptides, drugs and other therapeutic agents, across various biol. barriers mixing one or more effectors (anionic impermeable mols.) with a counter ion to the effector (a liquid forming cation). The invention also relates to methods of treating or preventing diseases by administering pharmaceutical compns. to affected subjects. For example, an ionic liquid forming cation was used to enable the translocation of insulin across an epithelial barrier. A composition containing recombinant human insulin and an ionic liquid forming cation, e.g., 1-butyl-3-methylimidazolium chloride, together with phytic acid, Pluronic F68, aprotinin, Solutol HS-15, and N-acetylcysteine was administered rectally or by injection into an intestinal loop of a test animal, e.g., a mouse. Blood glucose levels decrease in relation to the amount of insulin absorbed from the intestine into the bloodstream (i.e., in an amount that correlates to the amount of insulin absorbed). Thus, this drug delivery system can replace the need for insulin injections, thereby providing an efficient, safe and convenient route of administration for diabetes patients.

IC ICM A61K031-727

ICS A61K009-48; A61K009-20; A61K031-737

INCL 424452000; 514054000; 514056000

CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1, 2

IT DNA  
 RNA  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (and mimetics; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Acids, biological studies  
 Group IIIA element compounds  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (boronic acids,  $\alpha$ -amino derivs.; compns. capable of facilitating  
 penetration across biol. barrier comprising effectors and counter ions)

IT Peptides, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (brain-derived natriuretic peptide; compns. capable of facilitating  
 penetration across biol. barrier comprising effectors and counter ions)

IT Ovomucoids  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (chicken; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Carbohydrates, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (complexes, with biphenylboronic acids; compns. capable of facilitating  
 penetration across biol. barrier comprising effectors and counter ions)

IT Antibiotics  
 Anticoagulants  
 Antitumor agents  
 Biological transport  
 Blood-brain barrier  
 Cell membrane  
 Drug delivery systems  
 Endothelium  
 Epithelium  
 Freeze drying  
 Fungicides  
 Human  
 Immunomodulators  
 Reducing agents  
 Surfactants  
 (compns. capable of facilitating penetration across biol. barrier  
 comprising effectors and counter ions)

IT Amides, biological studies  
 Amino acids, biological studies  
 Antibodies and Immunoglobulins  
 Antigens  
 Antigens  
 Bile acids  
 Diglycerides  
 Dipeptides  
 Enkephalins  
 Enzymes, biological studies  
 Esters, biological studies  
 Ethers, biological studies  
 Fatty acids, biological studies  
 Glycerides, biological studies

Glycosaminoglycans, biological studies  
 Growth factors, animal  
 Hormones, animal, biological studies  
 Interleukin 2  
 Monoglycerides  
 Neurotrophic factors  
 Phospholipids, biological studies  
 Phosphonium compounds  
 Polyoxaalkylenes, biological studies  
 Polysaccharides, biological studies  
 Pyridinium compounds  
 Toxins  
 Tripeptides  
 Vitamins

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(compns. capable of facilitating penetration across biol. barrier  
 comprising effectors and counter ions)

IT Castor oil

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(ethoxylated, Cremophor; compns. capable of facilitating penetration  
 across biol. barrier comprising effectors and counter ions)

IT Antibodies and Immunoglobulins

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(fragments; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Onium compounds

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(imidazolium compds.; compns. capable of facilitating penetration  
 across biol. barrier comprising effectors and counter ions)

IT Alcohols, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(polyhydric; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Quaternary ammonium compounds, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(tetraalkyl; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Salts, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(water-soluble; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

( $\alpha$ ; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

( $\beta$ ; compns. capable of facilitating penetration across biol.  
 barrier comprising effectors and counter ions)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(γ; compns. capable of facilitating penetration across biol. barrier comprising effectors and counter ions)

IT 62449-23-4, Ovoinhibitor

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(chicken; compns. capable of facilitating penetration across biol. barrier comprising effectors and counter ions)

IT 53-79-2, Puromycin 55-91-4, DFP 57-88-5D, Cholesterol, fatty acid esters 60-00-4, EDTA, biological studies 60-00-4D, EDTA, chitosan conjugates 64-17-5, Ethanol, biological studies 66-71-7, 1,10-Phenanthroline 67-63-0, Isopropanol, biological studies 67-68-5, Dimethyl sulfoxide, biological studies 68-12-2, DMF, biological studies 71-23-8, Propanol, biological studies 71-36-3, n-Butanol, biological studies 75-65-0, tert-Butanol, biological studies 78-83-1, Isobutanol, biological studies 79-10-7D, Acrylic acid, derivs., polymers 83-86-3, Phytic acid 120-51-4, Benzyl benzoate 123-51-3, Isoamyl alcohol 329-98-6, PMSF 501-52-0, Benzenepropanoic acid 516-50-7D, Taurodeoxycholic acid, salts 621-71-6, Tricaprin 863-57-0, Sodium glycocholate 1405-87-4, Bacitracin 2364-87-6, TLCK 3858-83-1, p-Aminobenzamidine 6303-21-5D, Phosphinic acid, dipeptide analogs 8001-27-2, Hirudin 9002-64-6, Parathyroid hormone 9002-67-9, Luteinizing hormone 9002-68-0, FSH 9002-72-6, Growth hormone 9004-10-8, Insulin, biological studies 9004-61-9, Hyaluronic acid 9005-49-6, Heparin, biological studies 9007-12-9, Calcitonin 9007-28-7, Chondroitin sulfate 9012-76-4D, Chitosan, EDTA conjugates 9034-40-6D, LHRH, analogs 9041-92-3, α1-Antitrypsin 9050-30-0 9076-44-2, Chymostatin 9078-38-0, Soybean trypsin inhibitor 9087-70-1, Aprotinin 11096-26-7, Erythropoietin 24967-94-0, Dermatan sulfate 25322-68-3, Polyethylene glycol 30827-99-7, AEBSE 36357-77-4, Phosphoramidon 37213-49-3, α-Melanotropin 37330-34-0, Bowman-Birk inhibitor 37691-11-5, Antipain 42228-92-2, Acivicin 45470-32-4, 1,3-Dimethylimidazolium 51798-45-9, Elastatinal 51839-17-9 55123-66-5, Leupeptin 58970-76-6, Bestatin 59721-29-8, Camostat mesylate 61909-81-7, Solutol HS15 64111-53-1 65039-03-4, 1-Ethyl-3-methylimidazolium 65144-34-5 67655-94-1, Amastatin 70904-56-2, Kyotorphin 71933-13-6 76721-89-6, Thiorphan 80432-08-2, 1-Butyl-3-methylimidazolium 81733-79-1, Dalargin 83869-56-1, GM-CSF 85100-82-9, 1-Hexyl-3-methylimidazolium 88105-67-3 89703-10-6, FK-448 89750-14-1, Glucagon-like peptide 1 106096-93-9, BFGF 106392-12-5, Poloxamer 125867-77-8 128270-60-0, Hirulog 143011-72-7, G-CSF 157310-70-8, 1,2-Dimethyl-3-propylimidazolium 159519-65-0, T20 178631-03-3, 1-Methyl-3-octylimidazolium 313475-49-9 343952-32-9 847835-84-1D, sugar complexes

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(compns. capable of facilitating penetration across biol. barrier comprising effectors and counter ions)

IT 9001-92-7, Proteinase

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(inhibitor; compns. capable of facilitating penetration across biol. barrier comprising effectors and counter ions)

L92 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:589411 CAPLUS Full-text

DOCUMENT NUMBER: 141:128864

TITLE: Method for producing sterile polynucleotide-based medicaments

# Ja-Na Hines 10/725,009

INVENTOR(S): Geall, Andrew; Enas, Joel  
 PATENT ASSIGNEE(S): Vical Incorporated, USA  
 SOURCE: PCT Int. Appl., 52 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060363	A1	20040722	WO 2003-US38119	20031202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2508281 A1 20040722 CA 2003-2508281 20031202 AU 2003293196 A1 20040729 AU 2003-293196 20031202 US 2004162256 A1 20040819 US 2003-725015 20031202 EP 1581201 A1 20051005 EP 2003-790187 20031202 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2006514046 T 20060427 JP 2004-565151 20031202 PRIORITY APPLN. INFO.: US 2002-435303P P 20021223 WO 2003-US38119 W 20031202				

ED Entered STN: 23 Jul 2004

AB The present invention relates to a novel method for producing formulations comprising a polynucleotide, block copolymer and cationic surfactant. The formulations produced by the current method are suitable for use in polynucleotide-based medicaments. A suitable method of production disclosed herein addnl. comprises cold filtering a mixture of a polynucleotide, block copolymer and cationic surfactant, thereby sterilizing the formulation. The method of the present invention also eliminates the need for thermal cycling of the formulation, thereby reducing the time and expense required to produce large quantities of a formulation during com. manufacturing. The present invention also relates to novel cationic lipids used as surfactants. For example, a naked VR4700 plasmid DNA (5 mg/mL) in PBS was formulated with poloxamer CRL-1005 (7.5 mg/mL) and benzalkonium chloride (0.3 mM), using the thermal cycling and filtration process. Particle size of the diluted poloxamer formulation were maintained by thawing the formulation as a concentrated stock solution and then diluting to the required concentration. A dose-dependent responses of CD4+ and CD8+T cells of mice vaccinated with increasing amts. of naked VR4700 plasmid DNA or VR4700 formulated with CRL-1005 and benzalkonium chloride was observed.

IC ICM A61K031-08

CC 63-6 (Pharmaceuticals)

ST Section cross-reference(s): 15

ST polynucleotide polymer cationic surfactant filtration sterilization

IT Quaternary ammonium compounds, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (alkylbenzylidimethyl, chlorides; production of sterile formulations containing polynucleotide, block copolymer and cationic

surfactant)

IT Polymers, Biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (block; production of sterile formulations containing polynucleotide,  
 block copolymer and cationic surfactant)

IT Surfactants  
 (cationic; production of sterile formulations containing  
 polynucleotide, block copolymer and cationic  
 surfactant)

IT Lipids, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cationic; production of sterile formulations containing  
 polynucleotide, block copolymer and cationic  
 surfactant)

IT Sterilization and Disinfection  
 (filtration; production of sterile formulations containing polynucleotide,  
 block copolymer and cationic surfactant)

IT Filtration  
 Freeze drying  
 Particle size  
 Plasmid vectors  
 Vaccines  
 Zeta potential  
 (production of sterile formulations containing polynucleotide, block  
 copolymer  
 and cationic surfactant)

IT DNA  
 Polynucleotides  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (production of sterile formulations containing polynucleotide, block  
 copolymer  
 and cationic surfactant)

IT Drug delivery systems  
 (solns.; production of sterile formulations containing polynucleotide,  
 block  
 copolymer and cationic surfactant)

IT 121-54-0, Benzethonium chloride 123-03-5, Cetylpyridinium chloride  
 8044-71-1, Cetriride 106392-12-5, CRL 1005 723301-92-6  
 723301-93-7 723301-94-8 723301-95-9  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (production of sterile formulations containing polynucleotide, block  
 copolymer  
 and cationic surfactant)

L92 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:589334 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:128852  
 TITLE: Method for freeze-drying nucleic  
 acid/block copolymer/cationic  
 surfactant complexes  
 INVENTOR(S): Geall, Andrew  
 PATENT ASSIGNEE(S): Vical Incorporated, USA  
 SOURCE: PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004060059	A2	20040722	WO 2003-US38116	20031202
WO 2004060059	A3	20051222		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2508279	A1	20040722	CA 2003-2508279	20031202
AU 2003293195	A1	20040729	AU 2003-293195	20031202
US 2004157789	A1	20040812	US 2003-725009	20031202
EP 1578193	A2	20050928	EP 2003-790186	20031202
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006515855	T	20060608	JP 2004-565150	20031202
PRIORITY APPLN. INFO.:			US 2002-435273P	P 20021223
			WO 2003-US38116	W 20031202

ED Entered SIN: 23 Jul 2004

AB This invention relates generally to the freeze-drying of formulations comprising a polynucleotide, a block copolymer and a cationic surfactant. In the presence of a cryoprotectant or bulking agent, a formulation can be freeze-dried, whereby upon reconstitution of the dried formulation, the microparticles maintain their optimal size and aggregation or fusion is avoided. For example, a DNA/poloxamer/benzalkonium chloride (BAK) formulation (5 mg/mL DNA, 7.5 mg/mL CRL-1005, 0.3 mM BAK) in 10% sucrose and 10 mM sodium phosphate vehicle was prepared and lyophilized.

IC ICM A01N

CC 63-6 (Pharmaceuticals)

ST polynucleotide block copolymer cationic surfactant  
lyophilization microparticle

IT Quaternary ammonium compounds, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkylbenzylidimethyl, chlorides; freeze drying of  
nucleic acid/block copolymer/cationic surfactant  
complexes for microparticles)

IT Polymers, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(block; freeze drying of nucleic acid/  
block copolymer/cationic surfactant  
complexes for microparticles)

IT Surfactants

(cationic; freeze drying of nucleic  
acid/block copolymer/cationic surfactant complexes  
for microparticles)

IT Cryoprotectants

Filtration

Freeze drying

Particle size

(freeze drying of nucleic acid/block copolymer/  
cationic surfactant complexes for microparticles)

IT DNA

Nucleic acids  
Polynucleotides

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(freeze drying of nucleic acid/block copolymer/

cationic surfactant complexes for microparticles)  
 IT Drug delivery systems  
 (microparticles; freeze drying of nucleic  
 acid/block copolymer/cationic surfactant complexes  
 for microparticles)  
 IT 57-50-1, Sucrose, biological studies 121-54-0, Benzethonium chloride  
 123-03-5, Cetylpyridinium chloride 8044-71-1, Cetrimide 29368-49-8  
 166392-12-5, CRL-1005 723301-92-6 723301-93-7 723301-94-8  
 723301-95-9  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (freeze drying of nucleic acid/block copolymer/  
 cationic surfactant complexes for microparticles)

L92 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:837251 CAPLUS Full-text  
 DOCUMENT NUMBER: 139:335093  
 TITLE: Preservation of bioactive materials by freeze-  
 dried foam  
 INVENTOR(S): Vu, Truong-Le  
 PATENT ASSIGNEE(S): Medimmune Vaccines, Inc., USA  
 SOURCE: PCT Int. Appl., 72 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087327	A2	20031023	WO 2003-US10989	20030410
WO 2003087327	A3	20040226		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2482448	A1	20031023	CA 2003-2482448	20030410
AU 2003247337	A1	20031027	AU 2003-247337	20030410
US 2003219475	A1	20031127	US 2003-412630	20030410
US 7135180	B2	20061114		
EP 1494651	A2	20050112	EP 2003-746696	20030410
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005538939	T	20051222	JP 2003-584271	20030410
PRIORITY APPLN. INFO.:			US 2002-372236P	P 20020411
			WO 2003-US10989	W 20030410

ED Entered SIN: 24 Oct 2003

AB This invention provides methods and compns. to preserve bioactive materials in a dried foam matrix. Methods provide non-boiling foam generation and penetration of preservative agents at temps. near the phase transition temperature of the membranes. Monovalent live attenuated influenza virus B/Harbin was formulated in 40% sucrose, 5% gelatin, 0.02% Pluronic F68, 25 mM pH 7.2 KPO4 buffer and lyophilized to make a dry foam that maintained protein integrity and stability after storage at 37° for 125 days.

IC ICM C12N

- CC 9-11 (Biochemical Methods)  
Section cross-reference(s): 1, 10, 15, 63
- ST preservation bioactive material freeze dried foam;  
membrane preservation dried foam matrix; influenza virus vaccine  
preservation dry foam
- IT Influenza B virus  
(Harbin, monovalent live attenuated; preservation of bioactive materials by freeze dried foam)
- IT Metals, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(active, as foaming agent; preservation of bioactive materials by freeze dried foam)
- IT Sulfonic acids, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkanesulfonic, salts, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Sulfonic acids, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkenesulfonic, salts, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Sulfates, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkyl aryl ether, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Sulfates, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkyl ether, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Polyoxyalkylenes, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkyl ethers, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Ethers, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkyl polyglycol phosphates, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Sulfates, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkyl, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Naphthalenesulfonic acids  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(alkylnaphthalenesulfonic acids, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Electric current  
(as foaming agent; preservation of bioactive materials by freeze dried foam)
- IT Alcohols, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(as solvent; preservation of bioactive materials by freeze

- dried foam)
- IT Amine oxides
  - Betaines
  - Fatty acids, biological studies
  - Naphthalenesulfonic acids
  - Polyoxyalkylenes, biological studies
    - (quaternary ammonium compounds, biological studies)
  - RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Carbonates, biological studies
  - RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (buffer; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (foams, dry; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (freeze-dried; preservation of bioactive materials by freeze dried foam)
- IT Gelatins, biological studies
  - RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (hydrolyzates; preservation of bioactive materials by freeze dried foam)
- IT Boiling
- Bubbles
- Degassing
- Gases
  - (in foam preparation; preservation of bioactive materials by freeze dried foam)
- IT Vaccines
  - (influenza, live attenuated influenza virus; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (inhalants; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (injections, i.m.; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (injections, i.p.; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (injections, i.v.; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (injections, intra-articular; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (injections, intra-synovial; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (injections, intracerebrospinal; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems
  - (injections, intrathecal; preservation of bioactive materials by freeze dried foam)

- IT Drug delivery systems  
(injections, s.c.; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems  
(liposomes; preservation of bioactive materials by freeze dried foam)
- IT Lipids, biological studies  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(membranes; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems  
(nasal; preservation of bioactive materials by freeze dried foam)
- IT Wastes  
(of lignin-sulfite, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Phase transition temperature  
(of lipid membrane; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems  
(oral; preservation of bioactive materials by freeze dried foam)
- IT Ethers, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(polyaryl Ph phosphates, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Alcohols, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(polyhydric; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems  
(powders; preservation of bioactive materials by freeze dried foam)
- IT Adeno-associated virus  
Adenoviridae  
Biological materials  
Buffers  
Condensation (physical)  
Cooling  
Coronavirus  
Cytomegalovirus  
Drugs  
Drying  
Eubacteria  
Evaporation  
Foaming  
Foaming agents  
Foams  
Freeze drying  
Glass transition temperature  
Human  
Human adenovirus  
Human herpesvirus  
Human herpesvirus 4  
Human metapneumovirus  
Human parainfluenza virus  
Influenza virus

Liposomes  
 Mammalia  
 Membrane, biological  
 Microtubule  
 Physiological saline solutions  
 Platelet (blood)  
 Preservation  
 Preservatives  
 Pressure  
 Respiratory syncytial virus  
 SARS coronavirus  
 Solvents  
 Stability  
 Sublimation  
 Surfactants  
 Vaccines  
 Vacuum  
 Virus  
     (preservation of bioactive materials by freeze dried  
     foam)  
 IT Antibodies and Immunoglobulins  
   Hormones, animal, biological studies  
     Nucleic acids  
   Peptides, biological studies  
   Proteins  
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
       (preservation of bioactive materials by freeze dried  
       foam)  
 IT Actins  
   RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU  
   (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (preservation of bioactive materials by freeze dried  
     foam)  
 IT Collagens, biological studies  
   RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU  
   (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (preservation of bioactive materials by freeze dried  
     foam)  
 IT Dyneins  
   RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU  
   (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (preservation of bioactive materials by freeze dried  
     foam)  
 IT Gelatins, biological studies  
   RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU  
   (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (preservation of bioactive materials by freeze dried  
     foam)  
 IT Myosins  
   RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU  
   (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (preservation of bioactive materials by freeze dried  
     foam)  
 IT Polymers, biological studies  
   RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU  
   (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (preservation of bioactive materials by freeze dried  
     foam)  
 IT Ovalbumin

- RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preservation of bioactive materials by freeze dried foam)
- IT Sulfonic acids, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(salts, alkylaryl, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Sulfonic acids, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(salts, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Sulfonic acids, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(salts, phenylsulfonates, as surfactant; preservation of bioactive materials by freeze dried foam)
- IT Albumins, biological studies  
RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(serum; preservation of bioactive materials by freeze dried foam)
- IT Polysaccharides, biological studies  
RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sialopolysaccharides; preservation of bioactive materials by freeze dried foam)
- IT Cell  
(suspensions; preservation of bioactive materials by freeze dried foam)
- IT Grinding (size reduction)  
(to powder; preservation of bioactive materials by freeze dried foam)
- IT Drug delivery systems  
(topical; preservation of bioactive materials by freeze dried foam)
- IT Polymers, biological studies  
RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(water-soluble; preservation of bioactive materials by freeze dried foam)
- IT Containers  
(with etched or fritted bottom, formulation in; preservation of bioactive materials by freeze dried foam)
- IT 7732-18-5, Water, biological studies  
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(as solvent; preservation of bioactive materials by freeze dried foam)
- IT 50-00-0D, Formaldehyde, condensates with sulfonated naphthalenes 107-35-7, Taurine 107-97-1, Sarcosine 108-95-2D, Phenol, condensates with sulfonated naphthalenes and formaldehyde 5138-18-1D, Sulfosuccinic acid, salts, alkyl derivs. 8062-15-5, Lignosulfonic acid 9005-63-4, Polyoxethylenesorbitan 9005-64-5, Polyethylene glycol sorbitan monolaurate 14265-44-2D, Phosphate, alkyl derivs. 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol, alkyl ethers 25322-69-4, Polypropylene glycol 25322-69-4D, Polypropylene glycol, alkyl ethers 106392-12-5, Polyethylene glycol-polypropylene

# Ja-Na Hines 10/725,009

glycol block copolymer 106392-12-5D, alkyl ethers

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as surfactant; preservation of bioactive materials by freeze dried foam)

IT 71-00-1, L-Histidine, biological studies 127-09-3, Sodium acetate 288-32-4, Imidazole, biological studies 994-36-5, Sodium citrate 1066-33-7, Ammonium bicarbonate 7632-05-5, Sodium phosphate 14047-56-4 16068-46-5, Potassium phosphate

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(buffer; preservation of bioactive materials by freeze dried foam)

IT 9001-54-1, Kinetin 9003-39-8, Polyvinylpyrrolidone 9007-28-7, Chondroitin sulfate

RL: BUU (Biological use, unclassified); POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preservation of bioactive materials by freeze dried foam)

IT 50-69-1, Ribose 50-70-4, Sorbitol, biological studies 50-99-7, D-Glucose, biological studies 56-81-5, Glycerol, biological studies 56-86-0, L-Glutamic acid, biological studies 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 58-86-6, Xylose, biological studies 59-23-4, Galactose, biological studies 60-00-4, EDTA, biological studies 63-42-3, Lactose 63-68-3, Methionine, biological studies 69-65-8, Mannitol 69-79-4, Maltose 74-79-3, Arginine, biological studies 87-79-6, L-Sorbose 87-99-0, Xylitol 99-20-7, Trehalose 126-44-3, Citrate, biological studies 147-81-9, Arabinose 149-32-6, Erythritol 470-55-3, Stachyose 512-69-6, Raffinose 597-12-6, Melezitose 3458-28-4, Mannose 3615-41-6, L-Rhamnose 7493-90-5, Threitol 9005-27-0, Hydroxyethyl starch 25702-74-3, Ficoll 157663-13-3, L-Gluconic acid

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preservation of bioactive materials by freeze dried foam)

L92 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:836880 CAPLUS Full-text

DOCUMENT NUMBER: 139:328375

TITLE: Spray freeze-dried compositions for intranasal administration

INVENTOR(S): Truong-Le, Vu; Pham, Binh V.; Carpenter, John F.

PATENT ASSIGNEE(S): Medimmune Vaccines, Inc., USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086443	A1	20031023	WO 2003-US11405	20030410
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			



RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003230908 A1 20031027 AU 2003-230908 20030410  
 US 2004042972 A1 20040304 US 2003-412652 20030410  
 US 2002-372175P P 20020411  
 WO 2003-US11405 W 20030410

PRIORITY APPLN. INFO.:

ED Entered STN: 24 Oct 2003

AB This invention provides methods and compns. to preserve bioactive materials, such as peptides, nucleic acids, viruses, bacteria, cells, or liposomes, in freeze-dried particles suitable for intranasal administration. Methods provide spray freeze drying of formulations to form stable freeze-dried particles for intranasal administration. Liquid formulations were sprayed into liquid nitrogen through a spray nozzle with a 150- $\mu$ m internal diameter orifice. The frozen droplets were lyophilized to different moisture contents to obtain the required stability. Processing materials included influenza virus, liquid nitrogen as the cold fluid for freezing, and nitrogen atomizing gas and a stainless steel effervescence atomizing spray nozzle. The liquid formulation was sprayed at 2 mL/min through the nozzle and atomized by nitrogen gas at 1 L/min, into a container of liquid nitrogen. After lyophilization, resultant freeze dried powder particles were characterized by particle size, moisture content, process loss, and stability.

IC ICM A61K035-78

ICS A61K031-70

CC 63-6 (Pharmaceuticals)

ST spray freeze dried pharmaceutical intranasal

IT Sulfonic acids, biological studies

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(alkanesulfonic, salts; spray freeze dried compns.

for intranasal administration)

IT Sulfonic acids, biological studies

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(alkenesulfonic, salts; spray freeze dried compns.

for intranasal administration)

IT Alcohols, biological studies

Castor oil

Fatty acids, biological studies

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(alkoxylated; spray freeze dried compns. for

intranasal administration)

IT Polyoxyalkylenes, biological studies

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(alkyl ethers; spray freeze dried compns. for

intranasal administration)

IT Polyoxyalkylenes, biological studies

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(alkyl group-terminated; spray freeze dried compns.

for intranasal administration)

IT Glycosides

- RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(alkyl polyglycosides; spray freeze dried compns. for intranasal administration)
- IT Sulfonic acids, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(alkylarene, salts; spray freeze dried compns. for intranasal administration)
- IT Fatty acids, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(esters; spray freeze dried compns. for intranasal administration)
- IT Glycols, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(ethers, phosphates; spray freeze dried compns. for intranasal administration)
- IT Polyoxalkylenes, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(ethers, with phenols; spray freeze dried compns. for intranasal administration)
- IT Lanolin  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(ethoxylated; spray freeze dried compns. for intranasal administration)
- IT Polyoxalkylenes, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(fatty amido group-terminated; spray freeze dried compns. for intranasal administration)
- IT Amides, biological studies  
Amines, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(fatty, alkoxylated; spray freeze dried compns. for intranasal administration)
- IT Amides, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(fatty; spray freeze dried compns. for intranasal administration)
- IT Drug delivery systems  
(freeze-dried; spray freeze dried compns. for intranasal administration)
- IT Ethers, biological studies  
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC

- (Process); USES (Uses)
  - (glycol, phosphates; spray freeze dried compns. for intranasal administration)
- IT Gelatins, biological studies
  - RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
    - (hydrolyzates; spray freeze dried compns. for intranasal administration)
- IT Polyesters, biological studies
  - RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
    - (lactide; spray freeze dried compns. for intranasal administration)
- IT Nose
  - (mucosa; spray freeze dried compns. for intranasal administration)
- IT Drug delivery systems
  - (nasal; spray freeze dried compns. for intranasal administration)
- IT Alcohols, biological studies
  - RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
    - (polyhydric; spray freeze dried compns. for intranasal administration)
- IT Sulfonic acids, biological studies
  - RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
    - (salts; spray freeze dried compns. for intranasal administration)
- IT Albumins, biological studies
  - RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
    - (serum; spray freeze dried compns. for intranasal administration)
- IT Animal cell
  - Animal virus
    - Coronavirus
    - Cytomegalovirus
    - Eubacteria
    - Glass transition temperature
    - Human
      - Human herpesvirus
      - Human herpesvirus 4
      - Human metapneumovirus
      - Human parainfluenza virus
      - Influenza virus
      - Microtubule
      - Particle size distribution
      - Respiratory syncytial virus
      - SARS coronavirus
      - Spraying
      - Surfactants
    - Virus
      - (spray freeze dried compns. for intranasal administration)

- IT Actins  
 Amine oxides  
 Antibodies and Immunoglobulins  
 Betaines  
 Dyneins  
 Fatty acids, biological studies  
 Gelatins, biological studies  
 Glycerides, biological studies  
 Myosins  
 Nucleic acids  
 Peptides, biological studies  
 Polymers, biological studies  
 Polysaccharides, biological studies  
 Polysiloxanes, biological studies  
 Proteins  
 Quaternary ammonium compounds, biological studies  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (spray freeze dried compns. for intranasal administration)
- IT Freeze drying  
 (spray; spray freeze dried compns. for intranasal administration)
- IT 124-38-9, Carbon dioxide, processes 7440-37-1, Argon, processes 7727-37-9, Nitrogen, processes  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)  
 (spray freeze dried compns. for intranasal administration)
- IT 50-69-1, Ribose 50-70-4, Sorbitol, biological studies 50-99-7, Glucose, biological studies 56-81-5, Glycerol, biological studies 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 58-86-6, Xylose, biological studies 59-23-4, Galactose, biological studies 63-42-3, Lactose 69-65-8, Mannitol 69-79-4, Maltose 71-00-1, Histidine, biological studies 79-10-7D, Acrylic acid, esters, polymers 87-79-6, Sorbose 87-99-0, Xylitol 98-11-3D, Phenylsulfonic acid, salts 99-20-7, Trehalose 127-09-3, Sodium acetate 147-81-9, Arabinose 149-32-6, Erythritol 288-32-4, Imidazole, biological studies 470-55-3, Stachyose 506-87-6, Ammonium carbonate 512-69-6, Raffinose 597-12-6, Melezitose 994-36-5, Sodium citrate 1066-33-7, Ammonium bicarbonate 3458-28-4, Mannose 3615-41-6, Rhamnose 5138-18-1D, Sulfosuccinic acid, alkyl esters 7493-90-5, Threitol 7632-05-5, Sodium phosphate 7664-93-9D, Sulfuric acid, esters or ethers 8062-15-5, Lignosulfonic acid 8062-15-5D, Lignosulfonic acid, derivs. 9000-07-1, Carrageenan 9001-54-1, Kinetin 9003-39-8, Polyvinylpyrrolidone 9004-54-0, Dextran, biological studies 9004-67-5, Methyl cellulose 9005-27-0, Hydroxyethyl starch 9005-64-5, Polyethylene glycol sorbitan monolaurate 9007-28-7, Chondroitin sulfate 11138-66-2, Xanthan gum 14047-56-4 16068-46-5, Potassium phosphate 25155-19-5D, Naphthalenesulfonic acid, derivs. 25249-16-5, Poly(2-hydroxyethyl methacrylate) 25322-69-4D, Polypropylene glycol, alkyl ethers 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediy)] 26680-10-4, Polylactide 27458-92-0, Isotridecyl alcohol 29323-51-1 106392-12-5, Polyethylene glycol-polypropylene glycol block copolymer 157663-13-3D, L-Gluconic acid, derivs.  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (spray freeze dried compns. for intranasal administration)

administration)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:591026 CAPLUS Full-text  
 DOCUMENT NUMBER: 139:154897  
 TITLE: High-concentration preparation of soluble  
 thrombomodulin  
 INVENTOR(S): Nishio, Fumihide  
 PATENT ASSIGNEE(S): Asahi Kasei Kabushiki Kaisha, Japan  
 SOURCE: PCT Int. Appl., 113 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061687	A1	20030731	WO 2003-JP339	20030117
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1475098	A1	20041110	EP 2003-701758	20030117
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2006083733	A1	20060420	US 2005-501671	20050628
PRIORITY APPLN. INFO.:			JP 2002-9951	A 20020118
			WO 2003-JP339	W 20030117

ED Entered STN: 01 Aug 2003

AB In preparing a soluble thrombomodulin solution having a concentration of as high as 10 mg/mL or above, foam-inhibiting effect can be attained by any means selected from among (a) incorporation of a nonionic surfactant, benzyl alc. or chlorobutanol, (b) application of silicone coating on the inner wall of the vessel to be used in dissolving the freeze-dried preparation, and (c) evacuation of the vessel in dissolving the freeze-dried preparation. Further, a soluble thrombomodulin freeze-dried preparation excellent in stability is also provided which can be dissolved in 0.1 to 2 mL of an aqueous solution for dissoln. to give a soluble thrombomodulin solution having a concentration of as high as 10 mg/mL or above and exhibiting an osmotic pressure ratio of 0.5 to 2.0.

IC ICM A61K038-36  
 ICS A61K009-08; A61K047-10; A61K047-18; A61K047-26; A61P001-16;  
 A61P003-10; A61P007-00; A61P007-02; A61P009-00; A61P009-08;  
 A61P009-10; A61P015-00

CC 53-6 (Pharmaceuticals)

ST thrombomodulin stabilizer freeze dried injection

IT Drug delivery systems

(freeze-dried; preparation of stable freeze-dried thrombomodulin)

IT Castor oil

RL: THU (Therapeutic use); BIOL (Biological study); USES

{Uses}  
 (hydrogenated, ethoxylated; method for preparing high-concentration thrombomodulin solns. for injection)

IT Thrombomodulin  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 {Uses}  
 (method for preparing high-concentration thrombomodulin solns. without foams)

IT Surfactants  
 (nonionic; method for preparing high-concentration thrombomodulin solns. for injection)

IT Amino acids, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 {Uses}  
 (preparation of stable freeze-dried thrombomodulin)

IT Polysiloxanes, uses  
 RL: TEM (Technical or engineered material use); USES {Uses}  
 (vials coating with; method for preparing high-concentration thrombomodulin solns. for injection)

IT 570432-77-8 570432-78-9 570432-79-0 570432-82-5  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES {Uses}  
 (amino acid sequence; method for preparing high-concentration thrombomodulin solns. for injection)

IT 9002-92-0, Polyoxyethylene lauryl ether 9003-11-6, Polyoxyethylene-polyoxypropylene copolymer 9004-99-3, Polyoxyethylene stearate 9005-64-5, Polysorbate 20 9005-65-6, Polysorbate 80 106392-12-5, Poloxamer 188  
 RL: THU (Therapeutic use); BIOL (Biological study); USES {Uses}  
 (method for preparing high-concentration thrombomodulin solns. for injection)

IT 57-15-8, Chlorobutanol 100-51-6, Benzyl alcohol, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES {Uses}  
 (method for preparing high-concentration thrombomodulin solns. without foams)

IT 570432-80-3 570432-81-4  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES {Uses}  
 (nucleotide sequence; preparation of soluble thrombomodulin)

IT 56-40-6, Glycine, biological studies 56-45-1, L-Serine, biological studies 56-84-8, L-Aspartic acid, biological studies 56-86-0, L-Glutamic acid, biological studies 56-87-1, L-Lysine, biological studies 57-13-6, Urea, biological studies 57-50-1, Sucrose, biological studies 63-42-3, Lactose 63-91-2, L-Phenylalanine, biological studies 69-65-8, D-Mannitol 70-47-3, L-Asparagine, biological studies 71-00-1, L-Histidine, biological studies 74-79-3, L-Arginine, biological studies 99-20-7, Trehalose 147-85-3, L-Proline, biological studies 657-27-2, L-Lysine hydrochloride 6106-04-3 323194-76-9  
 RL: THU (Therapeutic use); BIOL (Biological study); USES {Uses}  
 (preparation of stable freeze-dried thrombomodulin)

IT 570475-51-3, 8: PN: WO03061687 SEQID: 2 unclaimed EMA  
 570475-52-4, 9: PN: WO03061687 SEQID: 6 unclaimed EMA  
 570475-53-5  
 RL: PRP (Properties)  
 (unclaimed nucleotide sequence; high-concentration preparation of soluble

thrombomodulin)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:76525 CAPLUS Full-text

DOCUMENT NUMBER: 138:142458

TITLE: Biodegradable injectable implants and related methods of manufacture and use

INVENTOR(S): Caseres, Crisofo Peralta; D'Lagarde, Daniel Leon

PATENT ASSIGNEE(S): Medgraft Microtech, Inc., Mex.

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007782	A2	20030130	WO 2002-US20802	20020628
WO 2003007782	A3	20030424		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2452412	A1	20030130	CA 2002-2452412	20020628
US 2003093157	A1	20030515	US 2002-186183	20020628
EP 1411861	A2	20040428	EP 2002-742366	20020628
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, SL, LV, FI, RO, MK, CY, AL, TR			
BR 2002010722	A	20040720	BR 2002-10722	20020628
CN 1538825	A	20041020	CN 2002-815171	20020628
JP 200508669	T	20050407	JP 2003-513396	20020628
PRIORITY APPLN. INFO.:				
			MX 2001-PA6732	A 20010629
			US 2001-2283	A 20011205
			WO 2002-US20802	W 20020628

ED Entered STN: 31 Jan 2003

AB This invention is directed to the field of medical implants, and more specifically to biodegradable injectable implants and their methods of manufacture and use. The injectable implants disclosed herein comprise glycolic acid and bio-compatible/bio-absorbable polymeric particles containing a polymer of lactic acid. The particles are small enough to be injected through a needle but large enough to avoid engulfment by macrophages. The injectables of this invention may be in a pre-activated solid form or an activated form (e.g., injectable suspension or emulsion). For example, a lyophilized composition was prepared containing glycolic acid 0.07 mg, poly(lactic acid) spheres 200.0 mg, hydroxypropyl Me cellulose 118.33 mg, D-mannitol 170.0 mg, pH stabilizer (phosphate buffer) 0.50 mg, and surfactant (Tween 80) 1.20 mg. The composition was activated extemporaneously with 5.5 mL water to obtain an injectable preparation

IC ICM A61B

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT Carbohydrates, biological studies  
 RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cryoprotectants; preparation of biodegradable injectable implants containing  
   glycolic acid and particles of lactic acid polymers)

IT Polyesters, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (dilactone-based; preparation of biodegradable injectable implants containing  
   glycolic acid and particles of lactic acid polymers)

IT Polyesters, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (hydroxycarboxylic acid-based; preparation of biodegradable injectable implants containing glycolic acid and particles of lactic acid polymers)

IT Polyesters, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (lactic acid-based; preparation of biodegradable injectable implants containing  
   glycolic acid and particles of lactic acid polymers)

IT Analgesics  
 Anesthetics  
 Antibacterial agents  
 Antibiotics  
 Blood plasma  
 Buffers  
 Cryoprotectants  
   Freeze drying  
 Gelation agents  
 Human  
 Lipodystrophy  
 Particle size  
 Particles  
   Surfactants  
 Syringes  
 Viscosity  
   (preparation of biodegradable injectable implants containing glycolic acid  
 and  
   particles of lactic acid polymers)

IT Cytokines  
 DNA  
 Fibronectins  
 Growth factors, animal  
 Interleukin 1  
 Interleukin 2  
 Peptides, biological studies  
 Polysaccharides, biological studies  
 Proteins  
 Steroids, biological studies  
 cDNA  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of biodegradable injectable implants containing glycolic acid  
 and  
   particles of lactic acid polymers)

IT Interferons  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)



(Uses)

(α; preparation of biodegradable injectable implants containing glycolic acid and particles of lactic acid polymers)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(β; preparation of biodegradable injectable implants containing glycolic acid and particles of lactic acid polymers)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(γ; preparation of biodegradable injectable implants containing glycolic acid and particles of lactic acid polymers)

IT 26161-42-2, Purasorb PL

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(Purasorb PL; preparation of biodegradable injectable implants containing glycolic acid and particles of lactic acid polymers)

IT 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 63-42-3, Lactose 69-65-8, D-Mannitol 9004-54-0, Dextran, biological studies

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

containing (cryoprotectant; preparation of biodegradable injectable implants containing

glycolic acid and particles of lactic acid polymers)

IT 50-21-5D, Lactic acid, esters 51-05-8, Novocaine 79-14-1, Glycolic acid, biological studies 94-09-7, Benzocaine 137-58-6, Lidocaine

142-62-1D, Caproic acid, esters 721-50-6, Prilocaine 2078-54-8,

Propofol 7647-14-5, Sodium chloride, biological studies 9001-28-9,

Factor IX 9002-67-9, Luteinizing hormone 9002-68-0,

Follicle-stimulating hormone 9002-72-6, Somatotropin 9003-39-8,

Polyvinylpyrrolidone 9004-32-4, Carboxymethyl cellulose 9004-61-9,

Hyaluronic acid 9004-61-9D, Hyaluronic acid, esters 9004-65-3,

Hydroxypropyl methyl cellulose 9005-25-8, Starch, biological studies

9005-49-6, Heparin, biological studies 9005-64-5, Polyoxyethylene

sorbitan monolaurate 9005-65-6, Polyoxyethylene sorbitan monooleate

9005-66-7, Polyoxyethylene sorbitan monopalmitate 9005-67-8,

Polyoxyethylene sorbitan monostearate 9005-70-3, Polyoxyethylene

sorbitan trioleate 9005-71-4, Polyoxyethylene sorbitan tristearate

9007-12-9, Calcitonin 9007-92-5, Glucagon, biological studies

11096-26-7, Erythropoietin 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-

ethanediyl)] 26100-51-6, Poly(lactic acid) 26780-50-7,

Glycolide-lactide copolymer 33135-50-1, Poly(L-lactide) 34346-01-5,

Glycolic acid-lactic acid copolymer 84057-95-4, Ropivacaine

85637-73-6, Atrial natriuretic factor 106392-12-5, Pluronic

113189-02-9, Factor VIII 121181-53-1, Filgrastim

RL: THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(preparation of biodegradable injectable implants containing glycolic acid

and

particles of lactic acid polymers)

L92 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:637548 CAPLUS Full-text

DOCUMENT NUMBER: 137:190734

TITLE: Formulations containing monoglycerides for enhancement of drug bioavailability

INVENTOR(S): Jeong, Seo-young; Kwon, Ick-chan; Chung, Hesson

PATENT ASSIGNEE(S): Korea Institute of Science and Technology, S. Korea  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064166	A1	20020822	WO 2002-KR206	20020208
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
KR 2002066778	A	20020821	KR 2001-7125	20010213
AU 2002233777	A1	20020828	AU 2002-233777	20020208
PRIORITY APPLN. INFO.:			KR 2001-7125	A 20010213
			WO 2002-KR206	W 20020208

ED Entered STN: 23 Aug 2002

AB The present invention relates to compns. and formulations to enhance bioavailability of bioactive materials and preparation method thereof. More particularly, the present invention relates to a composition comprising at least one monoglyceride, at least one emulsifier, organic solvents and aqueous solution and a liquid and powder formulation prepared by adding bioactive material with a low bioavailability to enhance bioavailability of bioactive materials and to acquire high encapsulation efficiency of the bioactive material and high storage stability for a long period of time and preparation method thereof. For example, a liquid formulation containing tetanus toxoid was prepared. In 120 µL of ethanol, 20 mg Pluronic F-68 was dissolved (under heating if necessary). After mixing 40 µL of the 5.376 mg/mL tetanus toxoid aqueous solution and 280 mg of propylene glycol, 100 mg of monoolein and the above Pluronic F-68/ethanol solution was added to the mixture of tetanus toxoid and propylene glycol and stirred to prepare a homogeneous liquid solution. Ethanol in the formulation was evaporated completely by purging with oxygen-free nitrogen gas to prepare the viscous liquid formulation. The formulation was dispersed well in water, and the average particle size and polydispersity of the dispersion of the liquid formulation were 303.9 nm and 0.185, resp., in water and 175.2 nm and 0.377, resp., in 0.01 M sodium deoxycholate. The encapsulation efficiency of tetanus toxoid was 80-85%.

IC ICM A61K047-44

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT Surfactants

(cationic, emulsifiers; formulations containing monoglycerides for enhancement of drug bioavailability)

IT Angiogenic factors

Antibodies and Immunoglobulins

Antibodies and Immunoglobulins

Antigens

Bone morphogenetic proteins

Chemokines

Cytokines

Enkephalins

Enzyme inhibitors

Estrogens  
 Glycosaminoglycans, biological studies  
 Growth factors, animal  
 Hormones, animal, biological studies  
 Interferons  
 Interleukins  
 Leukemia inhibitory factor  
 Monoglycerides  
 Peptides, biological studies  
 Polymers, biological studies  
 Polynucleotides

Prostaglandins  
 Stem cell factor

Toxins

Toxoids

Transforming growth factors

Vitamins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (formulations containing monoglycerides for enhancement of drug  
 bioavailability)

IT Cryoprotectants

Freeze drying

(preparation of formulations containing monoglycerides for enhancement of  
 drug  
 bioavailability)

IT 81-25-4, Cholic acid 83-44-3, Deoxycholic acid 128-13-2,  
 Ursodeoxycholic acid 151-21-3, Sodium dodecyl sulfate, biological  
 studies 434-13-9, Lithocholic acid 474-25-9, Chenodeoxycholic acid  
 3700-67-2, Dimethyldioctadecylammonium bromide 9005-63-4,  
 Polyoxyethylene sorbitan 104162-48-3, DOTMA 106392-12-5,  
 Poloxamer 137056-72-5, DC-Chol 144189-73-1, DOTAP 183283-20-7, DOEPC  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (emulsifier; formulations containing monoglycerides for enhancement of drug  
 bioavailability)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:185694 CAPLUS Full-text

DOCUMENT NUMBER: 136:252483

TITLE: Clear oil-containing pharmaceutical compositions  
 containing a therapeutic agent

INVENTOR(S): Chen, Feng-Jing; Patel, Mahesh V.; Fikstad, David T.

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S.  
 Ser. No. 751,968.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: English 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002032171	A1	20020314	US 2001-877541	20010608
US 6761903	B2	20040713		
US 6267985	B1	20010731	US 1999-345615	19990630
US 6309663	B1	20011030	US 1999-375636	19990817
US 2001024658	A1	20010927	US 2000-751968	20001229
US 6458383	B2	20021001		

# Ja-Na Hines 10/725,009

US 2003077297	A1	20030424	US 2002-74687	20020211
US 2003104048	A1	20030605	US 2002-158206	20020529
US 2003235595	A1	20031225	US 2003-397969	20030325
US 2003236236	A1	20031225	US 2003-444935	20030522
PRIORITY APPLN. INFO.:			US 1999-345615	A2 19990630
			US 1999-375636	A2 19990817
			US 2000-751968	A2 20001229
			US 1999-258654	A1 19990226
			US 1999-447690	A3 19991123
			WO 2000-US18807	A 20000710
			US 2000-716029	A2 20001117
			US 2001-800593	A2 20010306
			US 2001-877541	A2 20010608
			US 2001-898553	A2 20010702

ED Entered STN: 15 Mar 2002

AB The present invention relates to pharmaceutical compns. and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compns. of the present invention include a carrier, where the carrier is formed from a combination of a triglyceride and at least 2 surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous medium, the carrier forms a clear, aqueous dispersion of the triglyceride and surfactants. Thus, a formulation contained soybean oil, 80, Tween-20 200, and Tween-80 800 mg.

IC ICM A61K031-715

ICS A61K035-78

INCL 514054000

CC 63-6 (Pharmaceuticals)

ST oil pharmaceutical triglyceride; solubilization oil pharmaceutical triglyceride surfactant

IT Phenols, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(alkyl, ethoxylated; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Glycosides

RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(alkyl; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(almond, ethoxylated; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(almond; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(animal; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(babassu; clear oil-containing pharmaceutical compns. containing therapeutic agent)

- agent)
- IT Fats and Glyceridic oils, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (borage seed; clear oil-containing pharmaceutical compns. containing  
 therapeutic agent)
- IT Antifoaming agents  
 Antioxidants  
 Buffers  
 Chelating agents  
 Compression  
 Dietary supplements  
 Encapsulation  
 Extrusion, nonbiological  
 Freeze drying  
 Granulation  
 Hydrophile-lipophile balance value  
 Lubricants  
 Particle size distribution  
 Peptidomimetics  
 Plasticizers  
 Preservatives  
 Surfactants  
 (clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Alcohols, biological studies  
 Amides, biological studies  
 Bile acids  
 Bile salts  
 Canola oil  
 Castor oil  
 Coconut oil  
 Corn oil  
 Cottonseed oil  
 DNA  
 Diglycerides  
 Esters, biological studies  
 Gelatins, biological studies  
 Glycerides, biological studies  
 Glycosaminoglycans, biological studies  
 Lecithins  
 Lysophosphatidic acids  
 Lysophosphatidylcholines  
 Lysophosphatidylethanolamines  
 Lysophosphatidylserines  
 Lysophospholipids  
 Monoglycerides  
 Oligodeoxyribonucleotides  
 Oligonucleotides  
 Olive oil  
 Palm kernel oil  
 Palm oil  
 Peanut oil  
 Peptides, biological studies  
 Phosphatidic acids  
 Phosphatidylcholines, biological studies  
 Phosphatidylethanolamines, biological studies  
 Phosphatidylglycerols  
 Phosphatidylserines  
 Phospholipids, biological studies  
 Polysaccharides, biological studies

Proteins  
 RNA  
 Rape oil  
 Safflower oil  
 Soybean oil  
 Sunflower oil  
 Vitamins  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Glycerides, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (coco; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Oligopeptides  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (conjugates with fatty acids; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Peptides, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (conjugates, with fatty acids; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Phosphatidylethanolamines, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (conjugates; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Glycerides, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (corn, ethoxylated; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (currant, Ribes nigrum seed; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Amino acids, biological studies  
 Fatty acids, biological studies  
 Polyoxoalkylenes, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (esters; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Polyoxoalkylenes, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (ethers or esters; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fatty acids, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (ethoxylated, esters; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Castor oil

Corn oil  
 Palm kernel oil  
 Peanut oil  
 Sterols  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (ethoxylated; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (evening primrose; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Amides, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (fatty; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (fish; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Fats and Glyceridic oils, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (grape seed; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Castor oil  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (hydrogenated, ethoxylated; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Castor oil  
 Coconut oil  
 Cottonseed oil  
 Lecithins  
 Lysophosphatidylcholines  
 Palm oil  
 Soybean oil  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (hydrogenated; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Surfactants  
 (hydrophilic; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Surfactants  
 (ionic; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Glycerides, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (long-chain; clear oil-containing pharmaceutical compns. containing therapeutic agent)

IT Lysophosphatides  
 RL: THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

- (lysophosphatidylglycerols; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Glycerides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(medium-chain; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Fats and Glyceridic oils, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(mustard; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Surfactants  
(nonionic; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Oligosaccharides, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(pentasaccharides; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Alcohols, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(polyhydric; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Fatty acids, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(salts; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Fats and Glyceridic oils, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(sesame; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Fats and Glyceridic oils, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(shark-liver oil; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Sterols  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(soya, ethoxylated; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Fats and Glyceridic oils, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(vegetable, ethoxylated, hydrogenated; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Fats and Glyceridic oils, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(vegetable, ethoxylated; clear oil-containing pharmaceutical compns. containing therapeutic agent)
- IT Fats and Glyceridic oils, biological studies



RL: THU (Therapeutic use); BIOL (Biological study); USES  
{Uses}

(vegetable, hydrogenated; clear oil-containing pharmaceutical compns. containing therapeutic agent)

# IT Fats and Glyceridic oils, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES  
{Uses}

(vegetable; clear oil-containing pharmaceutical compns. containing therapeutic agent)

- IT 50-70-4, Sorbitol, biological studies 50-70-4D, Sorbitol, esters 50-78-2, Aspirin 56-81-5, Glycerol, biological studies 57-10-3, Palmitic acid, biological studies 57-11-4, Stearic acid, biological studies 57-55-6, Propylene glycol, biological studies 57-55-6D, 1,2-Propanediol, cyclodextrin ethers 58-32-2, Dipyrindamole 58-95-7,  $\alpha$ -Tocopherol acetate 59-02-9,  $\alpha$ -Tocopherol 60-33-3, 9,12-Octadecadienoic acid (9Z,12Z)-, biological studies 64-17-5, Ethanol, biological studies 67-63-0, Isopropanol, biological studies 77-89-4, Acetyl triethyl citrate 77-90-7, Acetyl tributyl citrate 77-93-0, Triethyl citrate 77-94-1, Tributyl citrate 81-24-3 81-25-4 81-81-2, Warfarin 83-44-3 87-69-4D, Tartaric acid, esters 87-78-5, Mannitol 100-51-6, Benzyl alcohol, biological studies 102-76-1, Triacetin 105-37-3, Ethyl propionate 105-54-4, Ethyl butyrate 105-60-2,  $\epsilon$ -Caprolactam, biological studies 105-60-2D,  $\epsilon$ -Caprolactam, derivs. 106-32-1, Ethyl caprylate 107-21-1, Ethylene glycol, biological studies 107-21-1D, Ethylene glycol, esters 107-88-0, 1,3-Butanediol 110-27-0, Isopropyl myristate 111-62-6, Ethyl oleate 111-90-0, Transcutol 112-80-1, Oleic acid, biological studies 115-77-5, Pentaerythritol, biological studies 115-77-5D, Pentaerythritol, esters 115-83-3, Pentaerythritol tetrastearate 118-71-8, Maltol 119-13-1,  $\delta$ -Tocopherol 122-32-7, Glyceryl trioleate 124-07-2, Octanoic acid, biological studies 127-19-5, Dimethylacetamide 128-13-2 141-22-0 142-62-1, Hexanoic acid, biological studies 142-91-6, Isopropyl palmitate 143-07-7, Lauric acid, biological studies 148-03-8,  $\beta$ -Tocopherol 151-41-7, Lauryl sulfate 334-48-5, Decanoic acid 360-65-6 434-13-9 463-40-1 474-25-9 475-31-0 490-23-3,  $\beta$ -Tocotrienol 502-44-3,  $\epsilon$ -Caprolactone 516-35-8 516-50-7 537-40-6, Glyceryl trilinoleate 538-23-8, Glyceryl tricaprylate 538-24-9, Glyceryl trilaurate 541-15-1D, Carnitine, esters with fatty acids, salts 544-35-4, Ethyl linoleate 544-63-8, Myristic acid, biological studies 555-43-1, Glyceryl tristearate 577-11-7, Sodium docusate 616-45-5, 2-Pyrrolidone 616-45-5D, 2-Pyrrolidone, derivs. 621-70-5, Glyceryl tricaproate 621-71-6, Glyceryl tricaprinate 623-84-7, Propylene glycol diacetate 640-79-9 675-20-7, 2-Piperidone 675-20-7D, 2-Piperidone, derivs. 823-22-3,  $\delta$ -Caprolactone 872-50-4, N-Methylpyrrolidone, biological studies 1331-12-0, Propylene glycol monoacetate 1338-39-2, Sorbitan monolaurate 1338-41-6, Sorbitan monostearate 1338-43-8, Sorbitan monooleate 1398-61-4, Chitin 1406-18-4, Vitamin E 1721-51-3,  $\alpha$ -Tocotrienol 1935-18-8, Palmitoylcarnitine 2466-77-5, Lauroylcarnitine 2687-91-4, N-Ethylpyrrolidone 2687-94-7, N-Octylpyrrolidone 2687-96-9, N-Lauryl-2-pyrrolidone 3068-88-0,  $\beta$ -Butyrolactone 3416-24-8, Glucosamine 3445-11-2 4345-03-3,  $\alpha$ -Tocopherol succinate 5306-85-4, Dimethyl isosorbide 6493-05-6, Pentoxifylline 6990-06-3, Fusidic acid 7616-22-0,  $\gamma$ -Tocopherol 7664-93-9D, Sulfuric acid, alkyl esters, salts 8007-43-0, Sorbitan sesquioleate 9002-89-5, Polyvinylalcohol 9002-92-0, Polyethylene glycol lauryl ether 9002-96-4 9003-39-8, Polyvinylpyrrolidone

9003-39-8D, PVP, conjugates with phosphatidylethanolamines 9004-34-6D, Cellulose, derivs. 9004-54-0, Dextran, biological studies 9004-57-3, Ethyl cellulose 9004-61-9, Hyaluronic acid 9004-65-3, Hydroxypropyl methyl cellulose 9004-67-5, Methyl cellulose 9004-74-4, Methoxy polyethylene glycol 9004-81-3, Polyethylene glycol monolaurate 9004-95-9, Polyethylene glycol cetyl ether 9004-96-0, Polyethylene glycol oleate 9004-98-2, Polyethylene glycol oleyl ether 9004-99-3, Polyethylene glycol monostearate 9005-00-9, Polyethylene glycol stearyl ether 9005-02-1, Polyethylene glycol dilaurate 9005-07-6, Polyethylene glycol dioleate 9005-08-7, Polyethylene glycol distearate 9005-25-8, Starch, biological studies 9005-32-7D, Alginate acid, salts 9005-37-2, Propylene glycol alginate 9005-49-6, Heparin, biological studies 9005-64-5, Polysorbate 20 9005-65-6, Polysorbate 80 9005-66-7, Tween 40 9005-67-8, Tween 60 9007-27-6, Chondroitin 9007-48-1, Polyglyceryl oleate 9009-32-9, Polyglyceryl stearate 9014-63-5, Xylan 9016-45-9, Polyethylene glycol nonyl phenyl ether 9041-08-1, Heparin sodium 9050-30-0, Heparan sulfate 9050-36-6, Maltodextrin 9062-73-1, Polyethylene glycol sorbitan laurate 9062-90-2, Polyethylene glycol sorbitan oleate 10041-19-7 11140-04-8, Imwitor 988 12619-70-4, Cyclodextrin 12619-70-4D, Cyclodextrin, hydroxypropyl ethers 12772-47-3, Pentaerythritol oleate 13027-26-4,  $\delta$ -Tocopherol acetate 13081-97-5, Pentaerythritol distearate 13552-80-2, Glyceryl triundecanoate 14101-61-2,  $\gamma$ -Tocotrienol 14440-80-3, Stearoyl-2 Lactylate 14465-68-0, Glyceryl trilinolenate 14605-22-2 22373-05-3,  $\beta$ -Tocopherol acetate 22373-06-4,  $\gamma$ -Tocopherol acetate 22882-95-7, Isopropyl linoleate 25168-73-4, Sucrose monostearate 25249-06-3, Polygalacturonic acid 25322-68-3D, ethers or esters 25322-69-4D, Polypropylene glycol, esters 25339-99-5, Sucrose monolaurate 25612-59-3,  $\delta$ -Tocotrienol 25618-55-7D, Polyglycerol, esters with fatty acids 25637-97-2, Sucrose dipalmitate 26266-57-9, Sorbitan monopalmitate 26266-58-0, Sorbitan trioleate 26446-38-8, Sucrose monopalmitate 26658-19-5, Sorbitan tristearate 27195-16-0, Sucrose distearate 27321-96-6, Polyethylene glycol cholesteryl ether 29874-09-7, Myristoylcarnitine 29894-36-8, Polymannuronic acid 31692-85-0, Glycofurool 31694-55-0D, AMD triesters with fatty acids 35296-72-1, Butanol 36291-32-4, Citric acid monoglyceride 37270-89-6, Nadroparin calcium 51938-44-4, Sorbitan sesquisteate 53168-42-6, Myvacet 9-45 54392-26-6, Sorbitan monoisostearate 55142-85-3, Ticlid 56451-84-4 57307-93-4, Pentaerythritol caprylate 61725-93-7, Polyglyceryl distearate 61752-68-9, Sorbitan tetrastearate 64480-66-6, Glycoursodeoxycholic acid 68818-37-1, Pentaerythritol decanoate 68958-64-5, Polyethylene glycol glyceryl trioleate 69070-98-0 70226-44-7, Heparan 73963-72-1, Clotazol 74504-64-6, Polyglyceryl laurate 75634-40-1, Dermatan 83138-62-9, Polyglyceryl isostearate 88662-03-7 93790-70-6, Chollysarcosine 93790-72-8, N-Methyltaurocholic acid 98913-68-9, Pentaerythritol isostearate 106392-12-5, Polyethylene glycol-polypropylene glycol block copolymer 110540-43-7, Polyglyceryl pentaoleate 113665-84-2, Clopidogrel 128254-89-7 128254-90-0 128286-20-4 146478-45-7, Polyglyceryl dioleate 148796-42-3 150372-93-3, Polyoxyethylene glyceryl laurate 162011-90-7, Refecoxib 181695-72-7, Valdecobix 198470-84-7, Parecoxib 208666-87-9, Captex 810D 256923-73-6,  $\gamma$ -Tocotrienol acetate 300583-65-7 300583-68-0 403815-06-5 403815-07-6 403815-12-3 403821-12-5, Polyglyceryl trioleate 403838-29-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(clear oil-containing pharmaceutical compns. containing therapeutic agent)

REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2001:780650 CAPLUS Full-text  
 DOCUMENT NUMBER: 135:335149  
 TITLE: Particulate compositions based on crosslinked polymers  
 INVENTOR(S): Dickinson, Paul Alfred; Kellaway, Ian Walter; Howells, Stephen Wyn  
 PATENT ASSIGNEE(S): University College Cardiff Consultants Limited, UK  
 SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078689	A2	20011025	WO 2001-GB1752	20010418
WO 2001078689	A3	20020328		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2405659	A1	20011025	CA 2001-2405659	20010418
EP 1274403	A2	20030115	EP 2001-921626	20010418
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003161886	A1	20030828	US 2003-258190	20030117
US 7018657	B2	20060328		
US 2006093557	A1	20060504	US 2005-305784	20051216
PRIORITY APPLN. INFO.:			GB 2000-9773	A 20000419
			WO 2001-GB1752	W 20010418
			US 2003-258190	A1 20030117

ED Entered STN: 26 Oct 2001

AB Nanoparticles are prepared from a colloidal system comprising a continuous phase and micelles, the micelles comprising surfactant material. A microemulsion is formed by admixing the colloidal system with a solution of an active material, such as a medicament, dissolved in a solvent wherein the solution forms a disperse phase with the micelles of surfactant material. At least the dispersed phase is quenched to a solid state and the continuous phase and solvent are removed to produce the nanoparticles. The nanoparticles can be incorporated in an aerosol composition suitable for deep lung delivery by means of a metered dose inhaler. For example, nanoparticles were formed using iso-octane, the lecithin/propanol-2-ol (1:3 by weight) surfactant system including as the active material pEGFP-N1 reporter plasmid DNA (4700 base pairs). The particles also contained protamine sulfate (1:1 by weight with respect to pDNA) and sucrose at a concentration of 0.5M in the aqueous phase.

IC ICM A61K009-51

ICS A61K009-12

CC 63-6 (Pharmaceuticals)

IT Lipids, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cationic; preparation of crosslinked polymer nanoparticles from colloidal system comprising continuous phase and surfactant micelles)

- IT Bronchodilators  
Centrifugation  
Emulsifying agents  
Freeze drying  
Micelles  
Polymerization catalysts  
Propellants (sprays and foams)  
Ultrafiltration  
(preparation of crosslinked polymer nanoparticles from colloidal system comprising continuous phase and surfactant micelles)
- IT Alkyl chlorides  
Bile salts  
Carbohydrates, biological studies  
Corticosteroids, biological studies  
DNA  
Disaccharides  
Monosaccharides  
Nucleic acids  
Peptides, biological studies  
Phospholipids, biological studies  
Proteins, general, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of crosslinked polymer nanoparticles from colloidal system comprising continuous phase and surfactant micelles)
- IT 7727-37-9, Nitrogen, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(liquid, freeze drying in; preparation of crosslinked polymer nanoparticles from colloidal system comprising continuous phase and surfactant micelles)
- IT 50-56-6, Oxytocin, biological studies 57-50-1, Sucrose, biological studies 76-25-5, Triamcinolone acetonide 431-89-0, 1,1,1,2,3,3,3-Heptafluoropropane 577-11-7, Sodium bis(2-ethylhexyl) sulfosuccinate 811-97-2, 1,1,1,2-Tetrafluoroethane 5534-09-8, Beclomethasone dipropionate 9002-72-6, Growth hormone 9004-10-8, Insulin, biological studies 9007-12-9, Calcitonin 9034-40-6, LHRH 12441-09-7D, Sorbitan, esters, ethoxylated 18559-94-9, Salbutamol 22254-24-6, Ipratropium bromide 23031-25-6, Terbutaline 23031-32-5, Terbutaline sulfate 51022-70-9, Salbutamol sulfate 51333-22-3, Budesonide 53714-56-0, Leuprolide 106392-12-5, Poloxamer 113669-21-9  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of crosslinked polymer nanoparticles from colloidal system comprising continuous phase and surfactant micelles)

L92 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:693132 CAPLUS Full-text

DOCUMENT NUMBER: 135:262214

TITLE: Use of monoglycerides and emulsifiers for solubilizing water-insoluble agents

INVENTOR(S): Jeong, Seo Young; Kwon, Ick Chan; Chung, Hesson

PATENT ASSIGNEE(S): Korea Institute of Science and Technology, S. Korea

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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# Ja-Na Hines 10/725,009

WO 2001068139 A1 20010920 WO 2001-KR389 20010313  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
AU 200141245 A 20010924 AU 2001-41245 20010313  
AU 777347 B2 20041014  
EP 1263468 A1 20021211 EP 2001-912555 20010313  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2003526679 T 20030909 JP 2001-566702 20010313  
US 2003099675 A1 20030529 US 2002-221449 20020912  
US 6994862 B2 20060207  
PRIORITY APPLN. INFO.: KR 2000-12465 A 20000313  
WO 2001-KR389 W 20010313

ED Entered STN: 21 Sep 2001

AB The present invention relates to an anhydrous liquid composition wherein monoglyceride is mixed with an emulsifier and a solvent, and the manufacturing method thereof, and more specifically, to an anhydrous liquid composition wherein monoglyceride is mixed with a water-insol. material, an emulsifier and a solvent, and the manufacturing method thereof. Further, the present invention relates to a lyophilized powder and the manufacturing method thereof, wherein the lyophilized powder is prepared by dissolving the mixed liquid composition in water, adding with a cryoprotectant followed by the lyophilization. In the process of dispersion, the lyophilized liquid composition and the powder of the present invention can spontaneously generate particles of 200-500 nm by gently shaking with hands without a powerful mech. force. Also the lyophilized liquid composition and the powder of the present invention are physicochem. stable since they neither contain water that causes oxidation or hydrolysis upon storage nor undergo phase separation. Considering all the raw materials of the present invention are biocompatible, the present invention will be useful in medical and pharmaceutical fields such as drug delivery. Monolein 140, Pluronic F-127 28, rifampicin 0.7, PEG-400 180 mg, and ethanol 1.4 mL were mixed to obtain a liquid formulation from which rifampicin was release over 120 h.

IC A61K047-06

CC 63-5 (Pharmaceuticals)

IT Surfactants

(cationic; use of monoglycerides and emulsifiers for solubilizing water-insol. agents)

IT Drug delivery systems

(freeze-dried; use of monoglycerides and emulsifiers for solubilizing water-insol. agents)

IT Albumins, biological studies

Amino acids, biological studies

Bile acids

Carbohydrates, biological studies

Estrogens

Fatty acids, biological studies

Glycosaminoglycans, biological studies

Hormones, animal, biological studies

Monoglycerides

Phosphatidic acids

Phosphatidylcholines, biological studies

Phosphatidylethanolamines, biological studies

Phosphatidylserines

## Polynucleotides

Polyoxyalkylenes, biological studies

Prostaglandins

Proteins, general, biological studies

Vitamins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of monoglycerides and emulsifiers for solubilizing water-insol. agents)

IT 57-55-6, Propylene glycol, biological studies 57-83-0, progesterone, biological studies 64-17-5, Ethanol, biological studies 67-64-1, Acetone, biological studies 67-66-3, Chloroform, biological studies 67-68-5, Dimethylsulfoxide, biological studies 69-65-8, mannitol 71-43-2, Benzene, biological studies 74-79-3, arginine, biological studies 75-05-8, Acetonitrile, biological studies 81-25-4D, Cholic acid, salts and derivs. 83-44-3D, Deoxycholic acid, salts and derivs. 99-20-7, trehalose 107-21-1, Ethylene glycol, biological studies 108-88-3, Toluene, biological studies 128-13-2D, Ursodeoxycholic acid, salts and derivs. 151-21-3, Sodium dodecyl sulfate, biological studies 302-79-4, retinoic acid 434-13-9D, Lithocholic acid, salts and derivs. 474-25-9D, Chenodeoxycholic acid, salts and derivs. 9005-63-4, ethoxylated sorbitan 9005-64-5, tween 20 9005-65-6, tween 80 12441-09-7D, sorbitan, esters 13292-46-1, Rifampicin 25322-68-3, Polyethylene glycol 25496-72-4, Monoolein 28063-42-5, monoerucin 29798-65-0, Monoelaidin 33069-62-4, paclitaxel 38396-39-3, Bupivacaine 55030-82-5, monomyristolein 55030-83-6, monopalmitolein 59865-13-3, cyclosporin a 104162-48-3, Dotma 106392-12-5, Pluronic F-127 137056-72-5, DC-cholesterol 144189-73-1, DOTAP 183283-20-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of monoglycerides and emulsifiers for solubilizing water-insol. agents)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:434905 CAPLUS Full-text

DOCUMENT NUMBER: 135:37173

TITLE: Nucleic acid delivery system

INVENTOR(S): Guan, Holly

PATENT ASSIGNEE(S): Artursson, Per, Swed.

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001041810	A2	20010614	WO 2000-EP12339	20001207
WO 2001041810	A3	20020425		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

Ja-Na Hines 10/725,009

CA 2393526	A1	20010614	CA 2000-2393526	20001207
EP 1235597	A2	20020904	EP 2000-981347	20001207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516365	T	20030513	JP 2001-543154	20001207
AU 782370	B2	20050721	AU 2001-18621	20001207
US 2003166594	A1	20030904	US 2003-149458	20030218
PRIORITY APPLN. INFO.:			SE 1999-4475	A 19991208
			US 1999-171307P	P 19991221
			WO 2000-EP12339	W 20001207

ED Entered STN: 15 Jun 2001

AB The present invention is directed to a composition and pharmaceutical prepn. for introducing nucleic acids including oligo- or poly-nucleotides into cells in a host tissue by a delivery system and a method of preparing such a composition. The composition for delivery of nucleic acids comprises polymeric carrier particles that are essentially free of groups having a pos. elec. charge and the nucleic acids are provided essentially on the surface of the particles. The carrier particle is insol. in water but suitably it is able to absorb water quickly.

IC ICM A61K047-48

CC 63-5 (Pharmaceuticals)

IT Polymers, biological studies

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(cross-linked, particles; polymeric particle composition for use as a nucleic acid delivery system)

IT Alcohols, biological studies

RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(polyhydric, solubilizers; polymeric particle composition for use as a nucleic acid delivery system)

IT Antitumor agents

Autoimmune disease

Cryoprotectants

Drying

Freeze drying

Gene therapy

Genetic engineering

Genetic vectors

Infection

Milling (size reduction)

Neoplasm

Plasmid vectors

Solubilizers

Stabilizing agents

Surfactants

Transduction, genetic

pH

(polymeric particle composition for use as a nucleic acid delivery system)

IT Antisense RNA

Antisense oligonucleotides

DNA

Nucleic acids

Polymers, biological studies

RNA

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(polymeric particle composition for use as a nucleic acid delivery system)

IT Polyoxyalkylenes, biological studies

- RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(solubilizer; polymeric particle composition for use as a nucleic acid delivery system)
- IT Polysaccharides, biological studies  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(solubilizers; polymeric particle composition for use as a nucleic acid delivery system)
- IT Amino acids, biological studies  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(stabilizing agent; polymeric particle composition for use as a nucleic acid delivery system)
- IT Alditols  
Carbohydrates, biological studies  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(stabilizing agents; polymeric particle composition for use as a nucleic acid delivery system)
- IT 9004-34-6, Cellulose, biological studies  
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(particles; polymeric particle composition for use as a nucleic acid delivery system)
- IT 57-09-0, Cetyltrimethylammonium bromide 69-65-8, Mannitol 69-79-4D, D-Maltose, acyl derivs. 151-21-3, Sds, biological studies 9005-64-5, tween 20 9005-65-6, tween 80 9005-66-7, tween 40 106392-12-5, poloxamer 407  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(polymeric particle composition for use as a nucleic acid delivery system)
- IT 56-81-5, Glycerol, biological studies 64-17-5, Ethanol, biological studies 107-21-1, Ethylene glycol, biological studies 9002-89-5, Polyvinylalcohol 9003-39-8, Polyvinylpyrrolidone 9004-54-0, Dextran, biological studies 9005-25-8, Starch, biological studies 9005-27-0, Hydroxyethyl starch 9005-49-6, Heparin, biological studies 9005-80-5, Inulin 12619-70-4, Cyclodextrin 25322-68-3, Polyethylene glycol  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(solubilizer; polymeric particle composition for use as a nucleic acid delivery system)
- IT 50-70-4, Sorbitol, biological studies 50-99-7, Dextrose, biological studies 56-40-6, Glycine, biological studies 56-41-7, Alanine, biological studies 56-84-8, L-Aspartic acid, biological studies 56-86-0, L-Glutamic acid, biological studies 56-87-1, Lysine, biological studies 57-50-1, Sucrose, biological studies 63-42-3, Lactose 71-00-1, histidine, biological studies 74-79-3, Arginine, biological studies 99-20-7, Trehalose  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(stabilizing agent; polymeric particle composition for use as a nucleic



acid

delivery system)

L92 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:137049 CAPLUS Full-text

DOCUMENT NUMBER: 134:198023

TITLE: Methods and materials for the treatment of prostatic carcinoma

INVENTOR(S): Seid, Christopher Allen; Singh, Gurpreet; Podolski, Joseph S.

PATENT ASSIGNEE(S): Zonagen, Inc., USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012218	A1	20010222	WO 2000-US6493	20000310
W: AU, CA, CN, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2380912	A1	20010222	CA 2000-2380912	20000310
EP 1206277	A1	20020522	EP 2000-917886	20000310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRIORITY APPLN. INFO.:			US 1999-375092	A 19990816
			WO 2000-US6493	W 20000310

ED Entered STN: 25 Feb 2001

AB The present invention relate generally to materials and methods for reduction and/or alleviation of prostatic and prostatic-related (metastatic) carcinoma via the administration of disclosed compns., immunotherapeutic agents, or antibodies.

IC ICM A61K039-00

ICS A61K039-39; A61P035-00; A61P035-04; A61K039-00; A61K039-39; A61K031-165

CC 63-3 (Pharmaceuticals)

Section cross-reference(s): 1

IT Antigens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(PCTA-1 (prostate carcinoma tumor antigen-1); methods and materials for the treatment of prostatic carcinoma)

IT Antigens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(PSCA (prostate stem cell antigen); methods and materials for the treatment of prostatic carcinoma)

IT Antigens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(PSMA (prostate-specific membrane antigen); methods and materials for

- the treatment of prostatic carcinoma)
- IT Antigens
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
  - USES (Uses)
  - (PTEN/MMAC1; methods and materials for the treatment of prostatic carcinoma)
- IT Antigens
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
  - USES (Uses)
  - (PTI-1 (prostate carcinoma tumor inducer-1); methods and materials for the treatment of prostatic carcinoma)
- IT Surfactants
  - (adjuvant component; methods and materials for the treatment of prostatic carcinoma)
- IT Canola oil
  - Corn oil
  - Olive oil
  - Peanut oil
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
  - USES (Uses)
  - (adjuvant component; methods and materials for the treatment of prostatic carcinoma)
- IT Androgens
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
  - USES (Uses)
  - (antiandrogens; methods and materials for the treatment of prostatic carcinoma)
- IT Phosphates, uses
  - RL: NUU (Other use, unclassified); USES (Uses)
  - (buffers; methods and materials for the treatment of prostatic carcinoma)
- IT Centrifugation
  - Freeze drying
  - Genetic vectors
  - Molecular cloning
  - Sonication
  - Transformation, genetic
  - pH
  - (methods and materials for the treatment of prostatic carcinoma)
- IT Prostate-specific antigen
  - RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
  - (methods and materials for the treatment of prostatic carcinoma)
- IT Gonadotropin receptors
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);
  - USES (Uses)
  - (methods and materials for the treatment of prostatic carcinoma)
- IT Antigens

- RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(prostate-associated; methods and materials for the treatment of prostatic carcinoma)
- IT 9001-01-8, Kallikrein  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(HK2 (human glandular kallikrein-2); methods and materials for the treatment of prostatic carcinoma)
- IT 111-02-4, Squalene 1310-73-2, Sodium hydroxide, biological studies  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(adjuvant component; methods and materials for the treatment of prostatic carcinoma)
- IT 9005-64-5, Polyoxyethylene sorbitan monolaurate 9005-65-6, Polyoxyethylene sorbitan monooleate 26266-58-0, Sorbitan trioleate 196392-12-5, Poloxamer 401  
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(adjuvant component; methods and materials for the treatment of prostatic carcinoma)
- IT 9012-76-4, Chitosan  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(adjuvant; methods and materials for the treatment of prostatic carcinoma)
- IT 427-51-0, Cyproterone acetate 7439-89-6D, Iron, chitosan chelates, biological studies 7440-02-0D, Nickel, chitosan chelates, biological studies 7440-50-8D, Copper, chitosan chelates, biological studies 7440-66-6D, Zinc, chitosan chelates, biological studies 9012-76-4D, Chitosan, metal chelates 13311-84-7, Flutamide 26062-48-6, Polyhistidine 26854-81-9, Polyhistidine 90357-06-5, Bicalutamide 98319-26-7, Finasteride  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(methods and materials for the treatment of prostatic carcinoma)
- IT 9001-77-8  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(prostatic; methods and materials for the treatment of prostatic carcinoma)
- IT 64-19-7, Acetic acid, uses 127-09-3, Sodium acetate  
RL: NUU (Other use, unclassified); USES (Uses)  
(solvent; methods and materials for the treatment of prostatic carcinoma)
- IT 151001-60-4, PN: WO9946405 SEQID: 23 unclaimed DNA  
175256-47-0, PN: DE19841413 SEQID: 24 unclaimed DNA

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253274-80-5, 1: PN: WO9965521 SEQID: 1 unclaimed DNA  
 253274-81-6, 3: PN: WO9965521 SEQID: 2 unclaimed DNA  
 253275-11-5, 2: PN: WO9965521 SEQID: 5 unclaimed DNA  
 253275-29-5, 4: PN: WO9965521 SEQID: 7 unclaimed DNA  
 RL: PRP (Properties)

(unclaimed nucleotide sequence; methods and materials for the treatment of prostatic carcinoma)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:31306 CAPLUS Full-text

DOCUMENT NUMBER: 134:105846

TITLE: Clear aqueous dispersions of triglycerides and

surfactants for delivery of drugs and nutrients

INVENTOR(S): Chen, Feng-Jing; Patel, Mahesh V.

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001001960	A1	20010111	WO 2000-US15133	20000602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RG: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6267985	B1	20010731	US 1999-345615	19990630
CA 2375083	A1	20010111	CA 2000-2375083	20000602
EP 1194120	A1	20020410	EP 2000-938039	20000602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503440	T	20030128	JP 2001-507455	20000602
NZ 516521	A	20031128	NZ 2000-516521	20000602
AU 783077	B2	20050922	AU 2000-53131	20000602
PRIORITY APPLN. INFO.:			US 1999-345615	A 19990630
			WO 2000-US15133	W 20000602

ED Entered STN: 12 Jan 2001

AB The present invention relates to drug and nutrient delivery systems, and in particular to pharmaceutical compns. and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compns. of the present invention include a triglyceride and a carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the triglyceride and surfactants. An optional therapeutic agent can be incorporated into the composition, or can be co-administered with the composition. The invention also provides methods of enhancing triglyceride solubility and methods of treatment with therapeutic agents using these compns. Several formulations were presented of compns. that can be prepared according to the present invention using a variety of therapeutic agents. Examples of aqueous dispersions include: (1) Cremophor RH-40 0.75, Peceol 0.25, corn oil 0.40, and fenofibrate 0.10; (2) Cremophor

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RH-40 0.57, Crovol M-40 0.43, corn oil 0.40, and Rofecoxib 0.15; (3) Tween 80 0.70, Tween 85 0.35, Miglyol 812 0.30, Paclitaxel 0.10, and PEG 400 0.25; or (4) Kessco PEG 400 MO 0.33, corn oil 0.30, and Terbinafine 0.25 parts, resp.

IC ICM A61K009-08  
ICS A61K009-10; A61K009-12; A61K009-14; A61K009-16; A61K009-20;  
A61K009-28; A61K009-48; A61K009-66

CC 63-6 (Pharmaceuticals)  
Section cross-reference(s): 18

IT Antifoaming agents  
Binders  
Buffers  
Chelating agents  
Coloring materials  
Compression  
Cosmetics  
Encapsulation  
Flavoring materials  
Freeze drying  
Granulation  
Homogenization  
Hydrophile-lipophile balance value  
Melting  
Mixing  
Molding  
Nutrients  
Odor and Odorous substances  
Opacifiers  
Peptidomimetics  
Plasticizers  
Preservatives  
Size reduction  
Solubilization  
Solubilizers  
Sonication  
Spraying  
Surfactants  
(clear aqueous dispersions of triglyceride and surfactants for delivery of drugs and nutrients)

IT Alcohols, biological studies  
Amides, biological studies  
Bile salts  
Canola oil  
Castor oil  
Coconut oil  
Corn oil  
Cottonseed oil  
DNA  
Diglycerides  
Esters, biological studies  
Glycerides, biological studies  
Lecithins  
Lysophosphatidic acids  
Lysophosphatidylcholines  
Lysophosphatidylethanolamines  
Lysophosphatidylserines  
Lysophospholipids  
Monoglycerides  
Oligodeoxyribonucleotides  
Oligonucleotides  
Olive oil

Palm kernel oil  
 Palm oil  
 Peanut oil  
 Peptides, biological studies  
 Phosphatidic acids  
 Phosphatidylcholines, biological studies  
 Phosphatidylethanolamines, biological studies  
 Phosphatidylglycerols  
 Phosphatidylserines  
 Phospholipids, biological studies  
 Polyoxalkylenes, biological studies  
 Proteins, general, biological studies  
 Quaternary ammonium compounds, biological studies

RNA

Rape oil

Safflower oil

Soybean oil

Sterols

Sunflower oil

Vitamins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (clear aqueous dispersions of triglyceride and surfactants for  
 delivery of drugs and nutrients)

IT 50-21-5D, Lactic acid, acyl esters 50-70-4D, Sorbitol, esters  
 50-99-7D, D-Glucose, alkyl esters, biological studies 56-81-5, Glycerol,  
 biological studies 57-10-3, Hexadecanoic acid, biological studies  
 57-11-4, Octadecanoic acid, biological studies 57-55-6, Propylene  
 glycol, biological studies 57-55-6D, Propylene glycol, esters and ethers  
 57-83-0, Progesterone, biological studies 57-88-5, Cholesterol,  
 biological studies 60-33-3, 9,12-Octadecadienoic acid (9Z,12Z)-,  
 biological studies 64-17-5, Ethanol, biological studies 67-63-0,  
 Isopropanol, biological studies 69-65-8, Mannitol 69-79-4D, Maltose,  
 alkyl esters 71-36-3, Butanol, biological studies 77-89-4, Acetyl  
 triethylcitrate 77-90-7, Acetyl tributyl citrate 77-92-9D, Citric  
 acid, esters 77-93-0, Triethylcitrate 77-94-1, Tributylcitrate  
 81-24-3, Taurocholic acid 81-25-4, Cholic acid 83-44-3, Deoxycholic  
 acid 87-69-4D, Tartaric acid, esters, biological studies 100-51-6,  
 Benzyl alcohol, biological studies 102-76-1, Triacetin 105-37-3, Ethyl  
 propionate 105-54-4, Ethyl butyrate 105-60-2,  $\epsilon$ -Caprolactam,  
 biological studies 105-60-2D, Caprolactam, N-alkyl derivs. 106-32-1,  
 Ethyl caprylate 107-21-1D, Ethylene glycol, esters 107-88-0,  
 1,3-Butanediol 110-15-6D, Succinic acid, esters 110-27-0, Isopropyl  
 myristate 111-62-6, Ethyl oleate 111-90-0, Transcutol 112-80-1,  
 Oleic acid, biological studies 115-77-5, Pentaerythritol, biological  
 studies 115-77-5D, Pentaerythritol, esters 115-83-3, Pentaerythrityl  
 tetrastearate 118-71-8, Maltol 122-32-7, Glyceryl trioleate  
 124-07-2, Caprylic acid, biological studies 127-19-5, Dimethylacetamide  
 128-13-2, Ursodeoxycholic acid 141-22-0 142-62-1, Caproic acid,  
 biological studies 142-91-6, Isopropyl palmitate 143-07-7, Lauric  
 acid, biological studies 151-41-7, Lauryl sulfate 302-79-4, Retinoic  
 acid 334-48-5, Capric acid 360-65-6, Glycodeoxycholic acid 434-13-9,  
 Lithocholic acid 463-40-1 474-25-9, Chenodeoxycholic acid 475-31-0,  
 Glycolcholic acid 502-44-3,  $\epsilon$ -Caprolactone 516-35-8,  
 Taurochenodeoxycholic acid 516-50-7, Taurodeoxycholic acid 537-40-6,  
 Glyceryl trilinoleate 538-23-8, Glyceryl tricaprilate 538-24-9,  
 Glyceryl trilaurate 541-15-1D, Carnitine, fatty esters, salts  
 542-28-9,  $\delta$ -Valerolactone 544-35-4, Ethyl linoleate 544-63-8,  
 Myristic acid, biological studies 577-11-7, Sodium docosate 616-45-5,  
 2-Pyrrolidone 616-45-5D, Pyrrolidone, N-alkyl and N-hydroxyalkyl derivs.

621-70-5, Glyceryl tricaproate 621-71-6, Glyceryl tricaproate 623-84-7, Propylene glycol diacetate 640-79-9, Glycochenodeoxycholic acid 675-20-7, 2-Piperidone 872-50-4, N-Methylpyrrolidone, biological studies 1331-12-0, Propylene glycol monoacetate 1335-71-3, Propylene glycol oleate 1338-39-2, Sorbitan monolaurate 1338-41-6, Sorbitan monostearate 1338-43-8, Sorbitan monooleate 1935-18-8, Palmitoyl carnitine 1972-08-3, Dronabinol 2466-77-5, Lauroyl carnitine 2687-91-4, N-Ethylpyrrolidone 2687-94-7, N-Octylpyrrolidone 2687-96-9, N-Lauryl-2-pyrrolidone 3008-50-2, Pentaerythritol tetracaprilate 3068-88-0,  $\beta$ -Butyrolactone 3445-11-2 5306-85-4, Dimethyl isosorbide 6990-06-3, Fusidic acid 7664-93-9D, Sulfuric acid, alkyl esters, biological studies 8007-43-0, Sorbitan sesquileate 9002-89-5, Polyvinylalcohol 9002-92-0, Polyethylene glycol lauryl ether 9002-96-4 9003-39-8, Polyvinylpyrrolidone 9003-39-8D, Polyvinylpyrrolidone, reaction products with phosphatidylethanolamine 9004-34-6D, Cellulose, ethers, biological studies 9004-57-3, Ethylcellulose 9004-65-3, Hydroxypropyl methylcellulose 9004-67-5, Methylcellulose 9004-74-4, Methoxy-polyethylene glycol 9004-81-3, Polyethylene glycol laurate 9004-95-9, Polyethylene glycol cetyl ether 9004-96-0, Polyethylene glycol oleate 9004-98-2, Polyethylene glycol oleyl ether 9004-99-3, Polyethylene glycol stearate 9005-00-9, Polyethylene glycol stearyl ether 9005-02-1, Polyethylene glycol dilaurate 9005-07-6, Polyethylene glycol dioleate 9005-08-7, Polyethylene glycol distearate 9005-32-7D, Alginic acid, salts 9005-37-2, Propylene glycol alginate 9005-63-4D, Polyoxethylene sorbitan, esters with fatty acids 9005-64-5, Polysorbate 20 9005-65-6, Polysorbate 80 9005-66-7, Tween 40 9005-67-8, Tween 60 9005-70-3, Tween 85 9007-48-1, Polyglyceryl oleate 9009-32-9, Polyglyceryl stearate 9011-29-4 9016-45-9 9041-08-1, Heparin sodium 9050-36-6, Maltodextrin 9062-73-1, Polyethylene glycol sorbitan laurate 9062-90-2, Polyethylene glycol sorbitan oleate 11140-04-8, Inwitor 988 12619-70-4, Cyclodextrin 12619-70-4D, Cyclodextrin, propanediol and sulfolbutyl ethers 13081-97-5, Pentaerythritol distearate 13552-80-2, Glyceryl triundecanoate 13784-61-7, Pentaerythritol tetracaproate 14440-80-3, Stearoyl-2-lactylate 14465-68-0, Glyceryl trilinolenate 14605-22-2, Tauroursodeoxycholic acid 19321-40-5, Pentaerythritol tetraoleate 22882-95-7, Isopropyl linoleate 25168-73-4, Sucrose monostearate 25265-75-2, Butanediol 25322-68-3D, Polyethylene glycol, esters 25322-69-4, Polypropylene glycol 25339-99-5, Sucrose monolaurate 25496-72-4, Glyceryl monooleate 25618-55-7D, Polyglycerol, esters with fatty acids 25637-84-7, Glyceryl dioleate 25637-97-2, Sucrose dipalmitate 26264-14-2D, Propanediol, ethers with cyclodextrin 26266-57-9, Sorbitan monopalmitate 26266-58-0, Sorbitan trioleate 26402-22-7, Glyceryl monocaproate 26402-26-6, Glyceryl monocaprylate 26446-38-8, Sucrose monopalmitate 26658-19-5, Sorbitan tristearate 27154-43-4D, Piperidone, N-alkyl derivs. 27195-16-0, Sucrose distearate 27215-38-9, Glyceryl monolaurate 27321-96-6, Polyethylene glycol cholesterol 27638-00-2, Glyceryl dilaurate 29874-09-7, Myristoyl carnitine 31692-85-0, Glycofurol 31694-55-0D, Polyoxethylene glycerol, esters with fatty acids 33069-62-4, Paclitaxel 36354-80-0, Glyceryl dicaprylate 37220-82-9, Peceol 37321-62-3, Propylene glycol laurate 37348-65-5, Linoleic acid glyceride 42924-53-8, Nabumetone 49562-28-9, Fenofibrate 51192-09-7 51852-65-4 51938-44-4, Sorbitan sesquisteate 53988-07-1, Glyceryl dicaprate 54392-26-6, Sorbitan monoisostearate 59865-13-3, Cyclosporin A 62125-22-8, Pentaerythritol tetraisostearate 64480-66-6, Glycooursodeoxycholic acid 68958-64-5, Polyethylene glycol glyceryl trioleate 69070-98-0 76009-37-5 77944-79-7, Softisan 378 79665-94-4 83138-62-9, Polyglyceryl isostearate 91161-71-6, Terbinafine 93790-70-6, Cholyarscossine 93790-72-8 94423-19-5 102051-00-3 166392-12-5, Polyoxethylene-polyoxypropylene block copolymer 110540-43-7

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129318-43-0, Alendronate sodium 150372-93-3, Polyethylene glycol glycerol laurate 162011-90-7, Rofecoxib 301524-91-4, Captex 810  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (clear aqueous dispersions of triglyceride and surfactants for delivery of drugs and nutrients)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:811109 CAPLUS Full-text

DOCUMENT NUMBER: 132:69323

TITLE: Prostate-associated antigen composition with chitosan metal chelate for the treatment of prostatic carcinoma

INVENTOR(S): Seid, Christopher Allen; Singh, Gurpreet

PATENT ASSIGNEE(S): Zonagen, Inc., USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965521	A1	19991223	WO 1999-US9592	19990430
W: AU, CA, CN, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 2001014334	A1	20010816	US 1998-99017	19980617
US 6280742	B2	20010828		
CA 2335337	A1	19991223	CA 1999-2335337	19990430
AU 9936737	A	20000105	AU 1999-36737	19990430
AU 771362	B2	20040318		
EP 1087786	A1	20010404	EP 1999-918940	19990430
EP 1087786	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518345	T	20020625	JP 2000-554399	19990430
AT 279208	T	20041015	AT 1999-918940	19990430
PRIORITY APPLN. INFO.:				
			US 1998-99017	A 19980617
			WO 1999-US9592	W 19990430

ED Entered STN: 24 Dec 1999

AB The present invention relates generally to materials and methods for reduction and/or alleviation of prostatic and prostatic-related (metastatic) carcinoma via the administration of compns. comprising a prostate-associated antigen and a chitosan-metal chelate.

IC ICM A61K039-00

ICS A61K039-385; A61K039-39; C12N009-64

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 15

IT Antigens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process);

THU (Therapeutic use); BIOL (Biological study); PROC (Process);

USES (Uses)

(PTEN/MMAC1; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT Phosphates, uses

RL: NUU (Other use, unclassified); USES (Uses)

(buffers; prostate-associated antigen composition with chitosan metal



chelate  
 for treatment of prostatic carcinoma)

IT Metals, biological studies  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);  
 USES (Uses)  
 (chitosan chelates; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

metal  
 chelate for treatment of prostatic carcinoma)

IT Drug delivery systems  
 (freeze-dried; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT Antigens  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);  
 USES (Uses)  
 (prostate carcinoma tumor inducer-1; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT Antigens  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);  
 USES (Uses)  
 (prostate stem cell antigen; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT Immunization  
 Immunostimulants  
 Molecular cloning  
 PCR (polymerase chain reaction)  
 Sonication  
 Surfactants  
 Transformation, genetic  
 pH  
 (prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT Canola oil  
 Chelates  
 Corn oil  
 Gonadotropin receptors  
 Olive oil  
 Peanut oil  
 Prostate-specific antigen  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);  
 USES (Uses)  
 (prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT Antigens  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);  
 USES (Uses)  
 (prostate-specific membrane antigen; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT 9001-01-8, Kallikrein

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(2, human glandular; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT 64-19-7, Acetic acid, uses 127-09-3, Sodium acetate

RL: NUU (Other use, unclassified); USES (Uses)

(chitosan solvent; prostate-associated antigen composition with chitosan

metal

chelate for treatment of prostatic carcinoma)

IT 111-02-4, Squalene 7439-89-6D, Iron, chitosan chelates, biological studies 7440-02-0D, Nickel, chitosan chelates, biological studies

7440-50-8D, Copper, chitosan chelates, biological studies 7440-66-6D,

Zinc, chitosan chelates, biological studies 9001-77-8 9012-76-4D,

Chitosan, metal chelates 26062-48-6D, Polyhistidine, proteins containing

26854-81-9D, Polyhistidine, proteins containing

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PEP (Physical, engineering or chemical process);

THU (Therapeutic use); BIOL (Biological study); PROC (Process);

USES (Uses)

(prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT 1310-73-2, Sodium hydroxide, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological

study); USES (Uses)

(prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT 158571-62-1, Lipofectamine

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES

(Uses)

(prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT 9005-64-5, Polyoxyethylene sorbitan monolaurate 9005-65-6,

Polyoxyethylene sorbitan monooleate 9005-70-3, Polyoxyethylene sorbitan

triolate 26266-58-0, Sorbitan triolate 106392-12-5,

Poloxamer 401

RL: MOA (Modifier or additive use); NUU (Other use, unclassified);

USES (Uses)

(surfactant; prostate-associated antigen composition with chitosan metal chelate for treatment of prostatic carcinoma)

IT 151001-60-4, PN: WO9946405 SEQID: 23 unclaimed DNA

175256-47-0, PN: DE19841413 SEQID: 24 unclaimed DNA

253274-80-5, 1: PN: WO9965521 SEQID: 1 unclaimed DNA

253274-81-6, 3: PN: WO9965521 SEQID: 2 unclaimed DNA

253275-11-5, 2: PN: WO9965521 SEQID: 5 unclaimed DNA

253275-28-4, 3: PN: WO9965521 SEQID: 6 unclaimed DNA

253275-29-5, 4: PN: WO9965521 SEQID: 7 unclaimed DNA

RL: PRP (Properties)

(unclaimed nucleotide sequence; prostate-associated antigen composition

with

chitosan metal chelate for treatment of prostatic carcinoma)

REFERENCE COUNT: 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L92 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:544101 CAPLUS Full-text

DOCUMENT NUMBER: 125:177462

TITLE: Surface-modified nanoparticles and method of making

and using them  
 INVENTOR(S): Levy, Robert J.; Labhasetwar, Vinod; Song, Cunxian S.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: PCT Int. Appl., 170 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9620698	A2	19960711	WO 1996-US476	19960104
WO 9620698	A3	19980122		
W: AL, AM, AT, AU, CA, CH, CN, CZ, DE, DK, GB, HU, IS, JP, KE, LU, VN, MN, NO, US				
RW: KE, LS, SD, AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, PT, SE, NL, MR, NE, SN				
CA 2207961	A1	19960711	CA 1996-2207961	19960104
AU 9647556	A	19960724	AU 1996-47556	19960104
EP 805678	A1	19971112	EP 1996-903476	19960104
EP 805678	B1	20031029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 10511957	T	19981117	JP 1996-521279	19960104
AT 252894	T	20031115	AT 1996-903476	19960104
PRIORITY APPLN. INFO.:				
			US 1995-369541	A 19950105
			US 1995-389893	A 19950216
			WO 1996-US476	W 19960104

ED Entered STN: 12 Sep 1996

AB Biodegradable controlled-release nanoparticles as sustained release bioactive agent delivery vehicles include surface modifying agents to target binding of the nanoparticles to tissues or cells of living systems, to enhance nanoparticle sustained release properties, and to protect nanoparticle-incorporated bioactive agents. Unique methods of making small (10 nm to 15 nm, and preferably 20 nm to 35 nm) nanoparticles having a narrow size distribution which can be surface-modified after the nanoparticles are formed is described. Techniques for modifying the surface include a lyophilization technique to produce a phys. adsorbed coating and epoxy-derivatization to functionalize the surface of the nanoparticles to covalently bind mols. of interest. The nanoparticles may also comprise hydroxy-terminated or epoxide-terminated and/or activated multiblock copolymers, having hydrophobic segments which may be polycaprolactone and hydrophilic segments. The nanoparticles are useful for local intravascular administration of smooth muscle inhibitors and antithrombogenic agents as part of interventional cardiac or vascular catheterization such as a balloon angioplasty procedure; direct application to tissues and/or cells for gene therapy, such as the delivery of osteotropic genes or gene segments into bone progenitor cells; or oral administration in an enteric capsule for delivery of protein/peptide based vaccines.

IC A61K009-51

CC 63-6 (Pharmaceuticals)

IT Alkylating agents, biological

Antibiotics

Anticoagulants and Antithrombotics

Emulsifying agents

Encapsulation

Freeze drying

Immunosuppressants

Inflammation inhibitors

Neoplasm inhibitors

Sound and Ultrasound

- Surfactants  
Thrombolytics  
Vaccines  
    (surface-modified polymer controlled-release nanoparticles for sustained drug delivery)
- IT Albumins, biological studies  
Alkaloids, biological studies  
Antigens  
Deoxyribonucleic acids  
Enzymes  
Gelatin, biological studies  
Gene, animal  
Glycoproteins, biological studies  
Hormones  
    Nucleic acids  
Osteocalcins  
Phosphazene polymers  
Phosphoproteins  
Polyanhydrides  
Polyesters, biological studies  
Polyethers, biological studies  
Quaternary ammonium compounds, biological studies  
Ribonucleic acids  
Toxins  
Urethane polymers  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
    (surface-modified polymer controlled-release nanoparticles for sustained drug delivery)
- IT Surfactants  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
    (cationic, surface-modified polymer controlled-release nanoparticles for sustained drug delivery)
- IT 50-70-4, D-Glucitol, biological studies 57-09-0, Cetyl trimethyl ammonium bromide 57-10-3, Hexadecanoic acid, biological studies 57-88-5, Cholesterol, biological studies 69-65-8, D-Mannitol 102-71-6, Triethanolamine, biological studies 112-02-7, Hexadecyl trimethyl ammonium chloride 151-21-3, Sodium dodecyl sulfate, biological studies 577-11-7, Sodium dioctyl sulfosuccinate 1069-55-2, Isobutyl cyanoacrylate 3282-73-3, Didodecyl dimethyl ammonium bromide 7445-62-7 7727-43-7, Barium sulfate 8007-43-0, Sorbitan sesquioleate 9000-65-1, Tragacanth 9000-69-5, Pectin 9002-89-5, Polyvinyl alcohol 9002-92-0, Polyoxyethylene lauryl ether 9003-39-8, Polyvinyl pyrrolidone 9003-53-6, Polystyrene 9004-32-4 9004-34-6, Cellulose, biological studies 9004-35-7, Cellulose acetate 9004-44-8, Cellulose phthalate 9004-64-2, Hydroxypropyl cellulose 9004-99-3 9005-49-6, Heparin, biological studies 9015-73-0 9050-04-8, CM-cellulose calcium 9050-31-1, Hydroxypropyl methyl cellulose phthalate 10103-46-5, Calcium phosphate 25322-68-3 106392-12-5, Poloxamer 110617-70-4, Poloxamine 128835-92-7, Lipofectin 180741-27-9  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
    (surface-modified polymer controlled-release nanoparticles for sustained drug delivery)

DOCUMENT NUMBER: PubMed ID: 16298011  
 TITLE: Freeze-dried formulations for in vivo gene delivery of PEGylated polyplex micelles with disulfide crosslinked cores to the liver.  
 AUTHOR: Miyata Kanjiro; Kakizawa Yoshinori; Nishiyama Nobuhiro; Yamasaki Yuichi; Watanabe Tsunamasa; Kohara Michinori; Kataoka Kazunori  
 CORPORATE SOURCE: Department of Materials Science and Engineering, Graduate School of Engineering, The University of Tokyo, Bunkyo-ku, Japan.  
 SOURCE: Journal of controlled release : official journal of the Controlled Release Society, (2005 Dec 5) Vol. 109, No. 1-3, pp. 15-23. Electronic Publication: 2005-11-17. Journal code: 8607908. ISSN: 0168-3659.  
 PUB. COUNTRY: Netherlands  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, NON-U.S. GOV'T)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 200602  
 ENTRY DATE: Entered STN: 16 Dec 2005  
 Last Updated on STN: 1 Mar 2006  
 Entered Medline: 28 Feb 2006

AB A stable, freeze-dried formulation consisting of a core-shell-type polyplex with a poly(ethylene glycol) (PEG) shell (polyplex micelles) was prepared from a polyion complex of plasmid DNA (pDNA) and thiolated PEG-poly(L-lysine) block copolymers. The use of lyoprotectants was avoided by crosslinking the core with disulfide bonds. The crosslinked polyplex micelles (CPMs) showed excellent stability during freeze-drying and reconstitution processes, which is in sharp contrast with the formation of visible agglomerates from the non-crosslinked polyplex micelles (NCPMs) after a similar process. A thiolation degree higher than 13% of the lysine residues was required to achieve sufficient tolerability of the CPMs during the freeze-drying/reconstitution cycle. Dynamic light scattering measurements and atomic force microscopy observations demonstrated that the original size and shape of the CPMs with a thiolation degree of higher than 13% were maintained even after the freeze-drying. Furthermore, the CPMs reconstituted from the freeze-dried state achieved a transfection efficiency as high as that of the original samples. The intravenous injection of the CPM with a thiolation degree of 37% into mice via the orbital vein led to an appreciably uniform gene expression of a yellow fluorescence protein variant (Venus) in the liver, while no gene expression was observed in the case of the free pDNA injection. The procedure of disulfide crosslinking of the polyplex micell core allows the preparation of non-viral gene vectors as a powder formulation without the use of any lyoprotectants. This achievement is certainly useful for pharmaceutical applications and exhibits many advantages, including easy concentration adjustments of dosing samples, long-term storage stability, and large-scale production reproducibility.

CT Animals  
 Cell Line  
 Chemistry, Pharmaceutical  
 Cross-Linking Reagents  
 \*DNA: AD, administration & dosage  
 DNA: CR, chemistry  
 \*Disulfides: CH, chemistry  
 Drug Screening Assays, Antitumor  
 Excipients  
 Freeze Drying  
 \*Gene Transfer Techniques  
 Humans

Light

\*Liver: ME, metabolism

Luciferases: GE, genetics

Micelles

Microscopy, Atomic Force

Particle Size

\*Polyethylene Glycols: CH, chemistry

Polylysine: AA, analogs &amp; derivatives

Polylysine: CH, chemistry

Scattering, Radiation

Solubility

Spectrophotometry, Ultraviolet

Transfection

L92 ANSWER 23 OF 27

MEDLINE on STN

ACCESSION NUMBER: 2003152970 MEDLINE Full-text

DOCUMENT NUMBER: PubMed ID: 12643741

TITLE: Nanoparticulate DNA packaging using terpolymers of poly(lysine-g-(lactide-b-ethylene glycol)).

AUTHOR: Park Susan; Healy Kevin E

CORPORATE SOURCE: University of California at Berkeley, Department of Bioengineering, 459 Evans Hall, 94270-1762, USA.

CONTRACT NUMBER: T32 DE07042-25 (NIDCR)

SOURCE: Bioconjugate chemistry, (2003 Mar-Apr) Vol. 14, No. 2, pp. 311-9.

Journal code: 9010319. ISSN: 1043-1802.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal, Article; (JOURNAL ARTICLE)  
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200311

ENTRY DATE: Entered STN: 3 Apr 2003

Last Updated on STN: 17 Dec 2003

Entered Medline: 18 Nov 2003

AB Terpolymers of poly(lysine-g-(lactide-b-ethylene glycol)) (pK-pLL-PEG) were synthesized by using ring-opening polymerization and functional end-group grafting. Synthesis was characterized with gel permeation chromatography, proton nuclear magnetic resonance spectroscopy, and a trinitrobenzene sulfonic acid binding assay. Polymer association behavior with DNA was investigated using an ethidium bromide exclusion assay, static light scattering, and scanning electron microscopy. Polylactide molecular weight was varied to investigate its impact on DNA association and resulting complex characteristics. Polylysine (= 8800, DP = 42) modified with either 7400 or 10 870 pLL-PEG reduced the minimum amount of primary amines necessary for complete condensation by 23% and 48%, respectively, compared to unmodified polylysine (pK42). Complexes formed with the highest molecular weight terpolymer demonstrated significantly ( $p < 0.1$ ) greater resistance to DNase I than lyophilized pK42-DNA particles. This study suggests that modification of pK42 with pLL-PEG diblock copolymers impacts polylysine's associative and binding behavior to DNA and resulting particle characteristics. Modulation of terpolymer composition in complexes can enable control over intracellular plasmid dissociation rates to improve transfection efficiency.

CT \*DNA: AD, administration &amp; dosage

Deoxyribonuclease I: CH, chemistry

Drug Carriers

Drug Delivery Systems

Electrophoresis, Agar Gel

Hydrolysis

Light

Magnetic Resonance Spectroscopy  
Microscopy, Electron  
Microspheres  
Molecular Weight  
Particle Size  
Plasmids  
\*Polyethylene Glycols: CH, chemistry  
Scattering, Radiation

L92 ANSWER 24 OF 27 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2004:383204 BIOSIS Full-text  
DOCUMENT NUMBER: PREV200400388015  
TITLE: Preparation of sterile stabilized nanodispersions.  
AUTHOR(S): Le Garrec, Dorothee [Inventor, Reprint Author]; Kabbaj, Meriam [Inventor]; Leroux, Jean-Christophe [Inventor]  
CORPORATE SOURCE: Montreal, Canada  
ASSIGNEE: Labopharm, Inc., Quebec, Canada  
PATENT INFORMATION: US 6780324 20040824  
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Aug 24 2004) Vol. 1285, No. 4.  
<http://www.uspto.gov/web/menu/patdata.html>. e-file.  
ISSN: 0098-1133 (ISSN print).  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
ENTRY DATE: Entered STN: 29 Sep 2004  
Last Updated on STN: 29 Sep 2004

AB The instant invention is directed toward a process for the production of a sterile, stabilized nanodispersion or loaded micelle comprising a polymer and a biologically active composition; particularly to nanodispersions produced by rehydration of a freeze-dried cake produced via the direct lyophilization of a stabilized solution comprising a polymer, such as an amphiphilic block copolymer or a small molecular weight surfactant, a biologically active agent, an optional additive, and a suitable solvent.  
IT Major Concepts  
Biochemistry and Molecular Biophysics; Methods and Techniques; Sanitation  
IT Chemicals & Biochemicals  
loaded micelle: stabilized, sterile; nanodispersion: stabilized, sterile

L92 ANSWER 25 OF 27 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2003:129176 BIOSIS Full-text  
DOCUMENT NUMBER: PREV200300129176  
TITLE: Porous PEOT/PBT scaffolds for bone tissue engineering: Preparation, characterization, and in vitro bone marrow cell culturing.  
AUTHOR(S): Claase, Menno B.; Grijpma, Dirk W.; Mendes, Sandra C.; de Bruijn, Joost D.; Feijen, Jan [Reprint Author]  
CORPORATE SOURCE: Faculty of Chemical Technology, Institute for Biomedical Technology (BMTI), University of Twente, 7500 AE, P.O. Box 217, Enschede, Netherlands  
[j.feijen@ct.utwente.nl](mailto:j.feijen@ct.utwente.nl)  
SOURCE: Journal of Biomedical Materials Research, (February 1 2003) Vol. 64A, No. 2, pp. 291-300. print.  
ISSN: 0021-9304 (ISSN print).  
DOCUMENT TYPE: Article  
LANGUAGE: English  
ENTRY DATE: Entered STN: 5 Mar 2003

Last Updated on STN: 5 Mar 2003

- AB The preparation, characterization, and in vitro bone marrow cell culturing on porous PEOT/PBT copolymer scaffolds are described. These scaffolds are meant for use in bone tissue engineering. Previous research has shown that PEOT/PBT copolymers showed in vivo degradation, calcification, and bone bonding. Despite this, several of these copolymers do not support bone marrow cell growth in vitro. Surface modification, such as gas-plasma treatment, is needed to improve the in vitro cell attachment. Porous structures were prepared using a freeze-drying and a salt-leaching technique, the latter one resulting in highly porous interconnected structures of large pore size. Gas-plasma treatment with CO<sub>2</sub> generated a surface throughout the entire structure that enabled bone marrow cells to attach. The amount of DNA was determined as a measure for the amount of cells present on the scaffolds. No significant effect of pore size on the amount of DNA present was seen for scaffolds with pore sizes between 250-1000 µm. Light microscopy data showed cells in the center of the scaffolds, more cells were observed in the scaffolds of 425-500 µm and 500-710 µm pore size compared to the ones with 250-425 µm and 710-1000 µm pores.
- IT Major Concepts
- Biomaterials; Methods and Techniques
- IT Parts, Structures, & Systems of Organisms
- bone marrow cells: blood and lymphatics, immune system
- IT Chemicals & Biochemicals
- poly (ether ester) segmented block copolymer;  
porous PEOT/PBT scaffolds: biomaterial

L92 ANSWER 26 OF 27 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:576465 BIOSIS [Full-text](#)

DOCUMENT NUMBER: PREV200200576465

TITLE: Preparation of poly(methacrylic acid-g-poly(ethylene glycol)) nanospheres from methacrylic monomers for pharmaceutical applications.

AUTHOR(S): Donini, C.; Robinson, D. N.; Colombo, P.; Giordano, F.; Peppas, N. A. [Reprint author]

CORPORATE SOURCE: Biomaterials and Drug Delivery Laboratories, School of Chemical Engineering, Purdue University, West Lafayette, IN, 47907-1283, USA  
peppas@ecn.purdue.edu

SOURCE: International Journal of Pharmaceutics (Kidlington), (1 October, 2002) Vol. 245, No. 1-2, pp. 83-91. print.  
CODEN: IJPHDE. ISSN: 0378-5173.

DOCUMENT TYPE:

Article

LANGUAGE: English

ENTRY DATE: Entered STN: 7 Nov 2002

Last Updated on STN: 7 Nov 2002

- AB Nanospheres of poly(methacrylic acid-grafted-poly(ethylene glycol)) were prepared by solution/precipitation polymerization. As colloidal drug delivery carriers, they present unique properties that render them promising candidates for oral protein delivery. The polymerization was carried out in water and the resulting suspension was freeze-dried. As with many colloidal systems, the freeze-dried suspension showed strong agglomeration after drying. The effects of preparation conditions on the particle size and redispersion were investigated using photon correlation spectroscopy. Furthermore, the ability of different types and concentrations of stabilizers (cryoprotectants and steric stabilizers) in preventing this phenomenon was addressed. Pluronic(R), block copolymers widely used as nonionic surfactants, were the most effective in stabilizing the particles during the freeze-drying process. Pluronic(R) P123, however, increased significantly the particle size of the nanospheres. On the other hand, lyophilizates obtained in the presence of



Pluronic(R) F68 had good redispersion properties and no change in particle size was observed.

IT Major Concepts

Pharmaceuticals (Pharmacology)

IT Chemicals & Biochemicals

Pluronic; hydrogels; methacrylic monomers: pharmaceutical; nanospheres; poly(methacrylic acid-g-poly(ethylene glycol))nanospheres: preparation

L92 ANSWER 27 OF 27 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 1996:572378 BIOSIS Full-text

DOCUMENT NUMBER: PREV199799287059

TITLE: Freeze-drying of itraconazole-loaded nanosphere suspensions: A feasibility study.

AUTHOR(S): De Chasteigner, Stephanie; Cave, Guy; Fessi, Hatem; Devissaguet, Jean-Philippe [Reprint author]; Puisieux, Francis

CORPORATE SOURCE: URA CNRS 1218, Faculte de Pharmacie, Universite de Paris XI, 5 avenue Jean-Baptiste Clement, 92 290 Chatenay-Malabry, France

SOURCE: Drug Development Research, (1996) Vol. 38, No. 2, pp. 116-124.

CODEN: DDREDK. ISSN: 0272-4391.

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 23 Dec 1996

Last Updated on STN: 23 Dec 1996

AB The present study concerns the stabilization of the association of the new hydrophobic triazole derivative itraconazole within poly-epsilon-caprolactone-nanospheres by means of freeze-drying. We have investigated the freeze-drying of nanospheres, and especially the cryopreservation conditions, with the help of differential scanning calorimetry and zeta potential measurements. Five commonly used cryoprotective agents were evaluated (glucose, sucrose, trehalose, dextran, mannitol at 0, 5, 10, 20, and 30% (w/v)) after freeze-thawing and freeze-drying. The addition of carbohydrates led to a partial protection of the colloidal suspension, with leakage of 30% of itraconazole under the best cryopreservation conditions (10% of glucose or sucrose). Zeta potential measurements revealed that the main destabilization mechanism during freeze-drying was surface modifications of the nanospheres, and particularly drug desorption. Therefore, the hydrophilic surfactant adsorbed at the surface of the nanospheres played an important role in the cryopreservation. Replacing the commonly used non ionic surfactant PLURONIC PE F68 by the anionic surfactant sodium deoxycholate resulted in a complete stabilization of itraconazole-loaded nanospheres after freeze-drying, with no drug desorption, in the presence of 10% sucrose, but not in the presence of glucose. As shown by thermal analysis, PLURONIC PE F68 may crystallize during freezing, which could lead to surface modifications and drug desorption, whereas sodium deoxycholate may not. Moreover, the Tg' of glucose-containing suspensions is 10 degree C lower than Tg' of sucrose-containing suspensions, which may explain the shrinkage of the cake observed in the case of glucose and the homogeneous appearance of the dried product in the case of sucrose.

IT Major Concepts

Pharmacology

IT Chemicals & Biochemicals

ITRACONAZOLE; GLUCOSE; SUCROSE; TREHALOSE; DEXTRAN; MANNITOL; PLURONIC; SODIUM DEOXYCHOLATE; POLY-EPSILON-CAPROLACTONE

L93 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:863414 CAPLUS Full-text

DOCUMENT NUMBER: 143:344782

TITLE: A DNA-based vaccine for the prevention of human cytomegalovirus-associated diseases

AUTHOR(S): Selinsky, C.; Luke, C.; Wloch, M.; Geali, A.

; Hermanson, G.; Kaslow, D.; Evans, T.

CORPORATE SOURCE: Vical Incorporated, San Diego, CA, USA

SOURCE: Human Vaccines (2005), 1(1), 16-23

CODEN: HVUAAK; ISSN: 1554-8600

PUBLISHER: Landes Bioscience

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Multiple lines of evidence indicate that in the transplant population human cytomegalovirus (HCMV) infection and its associated diseases are controlled by humoral and cellular immune responses similar to those that arise in asymptomatic, healthy individuals during a naturally-acquired infection. The dominant antibody response to HCMV is to the major surface glycoprotein B (gB) and the dominant cellular immune response is to the tegument phosphoprotein (pp65). We propose that an immunotherapeutic plasmid DNA (pDNA) vaccination approach that induces the requisite responses to major immunol. targets of HCMV may provide relief from HCMV-associated diseases in the transplant setting. We have developed gene-based immunotherapeutic products consisting of pDNAs encoding gB and pp65 of HCMV. When tested individually in mice, both pDNAs were highly immunogenic. Relative to vaccination with either gB or pp65 pDNA delivered alone, vaccination with gB and pp65 pDNAs delivered together in phosphate-buffered saline (PBS) elicited reduced antibody and T cell responses to each antigen. Formulating this bivalent vaccine with a poloxamer-based delivery system (VF-P1205-02A), however, significantly increased the antigen-specific immune responses relative to those induced with the bivalent vaccine in PBS, and completely abrogated the decrease in pp65-specific T cell responses observed in mice covaccinated with the pDNAs in PBS. Based on these data, and a favorable safety and toxicity profile in preclin. studies, the bivalent HCMV vaccine consisting of gB and pp65 pDNAs delivered with VF-P1205-02A has advanced to human clin. trials.

REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L93 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:542794 CAPLUS Full-text

DOCUMENT NUMBER: 145:50994

TITLE: Methods for producing block copolymer/amphiphilic particles

INVENTOR(S): Geali, Andrew

PATENT ASSIGNEE(S): Vical Inc., USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006060723	A2	20060608	WO 2005-US43770	20051202
WO 2006060723	A9	20060921		
WO 2006060723	A3	20070419		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,			

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,  
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,  
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
 VN, YU, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 2006134221 A1 20060622 US 2005-292280 20051202

PRIORITY APPLN. INFO.: US 2004-632612P P 20041203

AB The invention relates to a method for manufacturing cell delivery particles, pharmaceutical component-particle dispersions, composition comprising cell delivery particles and pharmaceutical compns. comprising pharmaceutical component-particle dispersions. The method comprises homogenization of mixts. comprising amphiphilic components and a block copolymer to form stable particles. The invention is also directed to cell delivery particles and pharmaceutical component-particle dispersions produced by the claimed methods and compns. comprising same. In certain embodiments, the cell delivery particles may further comprise co-lipids. The invention further relates to methods of generating an immune response, treating or preventing a disease or condition, or delivering a biol. active mol. to cells in vitro comprising administration of the pharmaceutical compns. described herein. When certain Poloxamer solns. are subjected to high pressure homogenization in the presence of the cationic lipid DMR1E, small uniform particles are produced with a pos. surface charge. When DNA is incubated with these particles, a stable cell delivery particle is produced that has a pos. surface charge in the presence of a molar excess of DMR1E and a neg. surface charge when using a molar excess of DNA.

L93 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1289887 CAPLUS Full-text

DOCUMENT NUMBER: 144:35287

TITLE: Compositions comprising codon-optimized polynucleotides encoding influenza virus proteins, transfection-facilitating compound and adjuvant for use as influenza vaccines

INVENTOR(S): Luke, Catherine; Vilalta, Adrian; Wloch, Mary K.; Geall, Andrew; Evans, Thomas G.; Jimenez, Gretchen S.

PATENT ASSIGNEE(S): Vical Incorporated, USA

SOURCE: PCT Int. Appl., 493 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116270	A2	20051208	WO 2005-US17157	20050518
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,			

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

AU 2005248361 A1 20051208 AU 2005-248361 20050518  
 CA 2566355 A1 20051208 CA 2005-2566355 20050518  
 US 2006024670 A1 20060202 US 2005-131479 20050518  
 EP 1766094 A2 20070328 EP 2005-750540 20050518  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,  
 HR, LV, MK, YU

PRIORITY APPLN. INFO.: US 2004-571854P P 20040518  
 WO 2005-US17157 W 20050518

AB The present invention is directed to enhancing the immune response of a human in need of protection against influenza virus infection by administering in vivo, into a tissue of the human, at least one polynucleotide comprising one or more regions of nucleic acid encoding an influenza virus protein or a fragment, a variant, or a derivative thereof. The present invention is further directed to enhancing the immune response of a human in need of protection against influenza virus infection by administering, in vivo, into a tissue of the human, at least one influenza virus protein or a fragment, a variant, or derivative thereof. The influenza virus protein can be, for example, in purified form or can be an inactivated influenza virus, such as those present in inactivated influenza virus vaccines. The polynucleotide is incorporated into the cells of the human in vivo, and an immunol. effective amount of an immunogenic epitope of an influenza virus, or a fragment, variant, or derivative thereof is produced in vivo. The influenza virus protein (in purified form or in the form of an inactivated IV vaccine) is also administered in an immunol. effective amount

L93 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on SIN

ACCESSION NUMBER: 2004:566544 CAPLUS Full-text

DOCUMENT NUMBER: 141:118330

TITLE: Codon-optimized synthetic genes for antigens of human cytomegalovirus infection for use in vaccines

INVENTOR(S): Hermanson, Gary G.; Geall, Andrew J.; Wloch, Mary Kopke

PATENT ASSIGNEE(S): Vical Incorporated, USA

SOURCE: PCT Int. Appl., 231 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058166	A2	20040715	WO 2003-US40685	20031219
WO 2004058166	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

CA 2508228 A1 20040715 CA 2003-2508228 20031219  
 AU 2003301148 A1 20040722 AU 2003-301148 20031219  
 US 2004209241 A1 20041021 US 2003-738986 20031219  
 EP 1587816 A2 20051026 EP 2003-814236 20031219  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2006511221 T 20060406 JP 2004-563851 20031219  
 PRIORITY APPLN. INFO.: US 2002-435549P P 20021223  
 WO 2003-US40685 W 20031219

AB Synthetic genes for antigens of human cytomegalovirus (HCMV) with codon usage optimized for expression in humans are described for use in vaccines. Viral antigens which are useful in the invention include, but are not limited to pp65, glycoprotein B (gB), IE1, and fragments, variants or derivs. of either of these antigens. The genes for vaccine use may encode deletion derivs. of the antigen, e.g., the putative kinase domain of pp65 and the membrane anchor and endocellular domains in gB. The invention is further directed to methods to induce an immune response to HCMV in a mammal, for example, a human, comprising delivering a plasmid encoding a codon-optimized HCMV antigen as described above. The invention is also directed to pharmaceutical compns. comprising plasmids encoding a codon-optimized HCMV antigen as described above, and further comprising adjuvants, excipients, or immune modulators. Design of synthetic genes by optimization of codon selection for alanine, arginine, proline, serine and threonine and use of the prior art expression vector V10551 is described. The ability of vaccine formulations containing these vectors to raise an immune response to the corresponding antigens was demonstrated in mice.

L93 ANSWER 5 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2002:356753 BIOSIS [Full-text](#)  
 DOCUMENT NUMBER: PREV200200356753  
 TITLE: Efficient calf thymus DNA condensation upon  
 binding with novel bile acid polyamine amides.  
 AUTHOR(S): Geall, Andrew J.; Al-Hadithi, Dima; Blagbrough,  
 Ian S. [Reprint author]  
 CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of  
 Bath, Bath, BA2 7AY, UK  
 prsisb@bath.ac.uk  
 SOURCE: Bioconjugate Chemistry, (May-June, 2002) Vol. 13, No. 3,  
 pp. 481-490. print.  
 CODEN: BCCHE5. ISSN: 1043-1802.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 26 Jun 2002  
 Last Updated on STN: 26 Jun 2002

AB Polyamine amides have been prepared from lithocholic and cholic acids (5beta-colanones) by acylation of tri-Boc-protected tetraamines spermine and thermine. These designed ligands for DNA are polyammonium ions at physiological pH. In NMR spectra, they display 14N-1H 1J = 51 Hz, 1:1:1 triplets, due to the symmetry of the R14NH3+ cations. The binding affinities of these conjugates for calf thymus DNA were determined using an ethidium bromide fluorescence quenching assay and compared with spermine and polylysine. DNA-binding affinities were dependent upon both salt concentration and the hydrophobicity or intermolecular bonding (facial effects) of the lipid moieties in these conjugates. Light scattering at 320 nm was used to determine DNA condensation and particle formation. The observed self-assembly phenomena are discussed with respect to DNA charge neutralization and DNA bending with loss of ethidium cation intercalation sites, ultimately leading to DNA condensation. These polyamine amides are models for lipoplex formation with respect to gene delivery (lipofection), a key first step in gene therapy.

L93 ANSWER 6 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2000:295650 BIOSIS [Full-text](#)  
 DOCUMENT NUMBER: PREV200000295650  
 TITLE: Cheno-, urso- and deoxycholic acid spermine conjugates:  
 Relative binding affinities for calf thymus DNA.  
 AUTHOR(S): Blagbrough, Ian S. [Reprint author]; Al-Hadithi, Dima;  
 Geail, Andrew J.  
 CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of  
 Bath, Bath, BA2 7AY, UK  
 SOURCE: Tetrahedron, (May 19, 2000) Vol. 56, No. 21, pp. 3439-3447.  
 print.  
 CODEN: TETRAB. ISSN: 0040-4020.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 12 Jul 2000  
 Last Updated on STN: 7 Jan 2002

AB Cationic lipid polyamine amides (cholan-24-amides) have been prepared from  
 chenodeoxycholic (3alpha,7alpha-dihydroxy), ursodeoxycholic (3alpha,7beta-  
 dihydroxy), and deoxycholic (3alpha,12alpha-dihydroxy) bile acids (5beta-  
 cholanes) by acylation of tri-Boc protected spermine. Their relative binding  
 affinities for calf thymus DNA were determined using an ethidium bromide  
 displacement assay. These lipopolyamine amides are synthetic vectors for non-  
 viral gene delivery and models for lipoplex formation with respect to  
 lipofection, a key first step in gene therapy.

L93 ANSWER 7 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2000:295563 BIOSIS [Full-text](#)  
 DOCUMENT NUMBER: PREV200000295563  
 TITLE: Homologation of polyamines in the rapid synthesis of  
 lipospermine conjugates and related lipoplexes.  
 AUTHOR(S): Geail, Andrew J.; Blagbrough, Ian S. [Reprint  
 author]  
 CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of  
 Bath, Bath, BA2 7AY, UK  
 SOURCE: Tetrahedron, (April 14, 2000) Vol. 56, No. 16, pp.  
 2449-2460. print.  
 CODEN: TETRAB. ISSN: 0040-4020.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 12 Jul 2000  
 Last Updated on STN: 7 Jan 2002

AB Lipopolyamine amides are useful cationic lipids, synthetic vectors for non-  
 viral gene delivery. Desymmetrisation of readily available symmetrical  
 polyamines is an important first step in the synthesis of such compounds. The  
 application of trifluoroacetyl as a protecting group allows unsymmetrical  
 polyamine amides to be rapidly prepared. A reductive alkylation homologation  
 strategy allows the sequential, regiocontrolled introduction of additional  
 positive charges. Tetraamine spermine and other polyamine derivatives have  
 been N1-acylated with various single alkyl chains, and their relative binding  
 affinities for DNA determined using an ethidium bromide displacement assay.  
 The important effects on DNA binding affinity of the number of positive  
 charges on the polyamine moiety and also the nature (chain length and degree  
 of unsaturation) of the covalently attached lipid are demonstrated.

L93 ANSWER 8 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2000:368979 BIOSIS [Full-text](#)

DOCUMENT NUMBER: PREV200000368979  
 TITLE: Synthesis of cholesteryl polyamine carbamates: pKa studies and condensation of calf thymus DNA.  
 AUTHOR(S): Geall, Andrew J.; Taylor, Richard J.; Earll, Mark E.; Eaton, Michael A. W.; Blagbrough, Ian S. [Reprint author]  
 CORPORATE SOURCE: Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK  
 SOURCE: Bioconjugate Chemistry, (May-June, 2000) Vol. 11, No. 3, pp. 314-326. print.  
 CODEN: BCCHE. ISSN: 1043-1802.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 30 Aug 2000  
 Last Updated on STN: 8 Jan 2002

AB Novel polyamine carbamates have been designed and prepared from cholesterol. Our synthesis uses an orthogonal protection strategy based upon trifluoroacetyl and Boc-protecting groups. These unsymmetrical polyamine carbamates have been prepared from symmetrical (e.g., spermine and thermine) polyamines. Detailed interpretations of 1H and 13C NMR spectroscopic data led to the unambiguous assignment of these polyamine carbamates. These target conjugates contain a variety of positive charges distributed along methylene chains. Their pKas have been determined potentiometrically for conjugates substituted with up to five amino functional groups. Condensation of calf thymus DNA into particles was monitored using light scattering at 320 nm. Salt-dependent binding affinity for calf thymus DNA was determined using an ethidium bromide fluorescence quenching assay. These cholesteryl polyamine carbamates are models for lipoplex formation with respect to gene delivery (lipofection), a key first step in gene therapy.

L93 ANSWER 9 OF 9 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN  
 ACCESSION NUMBER: 1998:70862 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV19980070862  
 TITLE: Homologation of polyamines in the synthesis of lipo-spermine conjugates and related lipoplexes.  
 AUTHOR(S): Geall, Andrew J.; Blagbrough, Ian S. [Reprint author]  
 CORPORATE SOURCE: Dep. Pharmacy Pharmacol., Univ. Bath, Bath BA2 7AY, UK  
 SOURCE: Tetrahedron Letters, (Jan. 29, 1998) Vol. 39, No. 5-6, pp. 443-446. print.  
 CODEN: TELEAY. ISSN: 0040-4039.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 24 Feb 1998  
 Last Updated on STN: 24 Feb 1998

AB Polyamine amides are useful in gene delivery as synthetic (non-viral) vectors or mimics of polycationic histones. The application of a homologation strategy, based upon reductive alkylation, allows unsymmetrical polyamine amides to be prepared in good yield. The interaction of this polyamine amide with calf thymus DNA was demonstrated in an ethidium bromide fluorescence quenching assay.

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